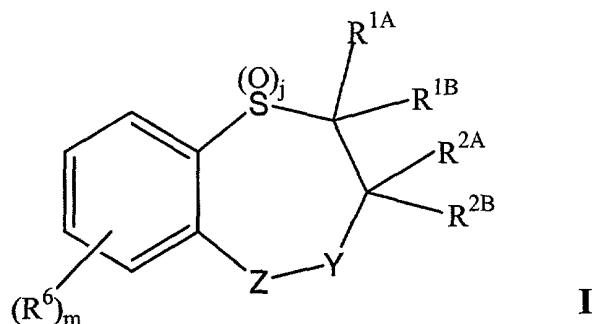


WHAT WE CLAIM IS:

1. A compound of Formula I:



wherein:

j is 0, 1 or 2; and

m is 0, 1, 2, 3 or 4; and

R^{1A} and R^{1B} are independently selected from hydrogen and alkyl; and

R^{2A} and R^{2B} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

R^{2A} and R^{2B} together with the carbon atom to which they are attached form a C_{3-10} cycloalkyl group; and

one of Z and Y is NR^3 and the other of Z and Y is CHR^4 ;

wherein R^3 and R^4 are independently selected from the group consisting of hydrogen, oxo, acyl, thioacyl, and R^5 ; and

wherein R^5 is selected from the group consisting of alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; $-OR^9$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; and $-SO_3R^9$;

wherein the R^5 alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; and quaternary heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; $-CN$; $-NO_2$; oxo; alkyl; polyalkyl;

haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^5 radical optionally may be further substituted with one or more radicals selected from the group consisting of $-CN$; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^5 radical optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation; and

one or more R^6 radicals are independently selected from the group consisting of R^5 , hydrogen; halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl;

hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -OR¹⁸; -S(O)NR¹³R¹⁴; -NR¹³R¹⁸; -NR¹⁸OR¹⁴; -N⁺R¹³R¹⁴R¹⁵A⁻; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R⁶ alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; -CN; oxo; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹¹R¹²A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R⁶ quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; -NO₂; oxo; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -P(O)R¹³R¹⁴; -P¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; -N⁺R¹³R¹⁴R¹⁵A⁻; and carbohydrate residue; and

wherein the R⁶ radicals comprising carbon optionally may have one or more carbons replaced by -O-; -NR¹³-; -N⁺R¹³R¹⁴A⁻; -S-; -SO-; -SO₂-; -S⁺R¹³A⁻; -PR

¹³-; -P(O)R¹³-; -PR¹³R¹⁴; -P⁺R¹³R¹⁴A⁻; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; or -P(O)R⁹-; and

wherein R¹⁸ is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxy carbonyl; arylalkoxy carbonyl; and heterocyclalkoxy carbonyl; and

wherein the R¹⁸ alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxy carbonyl; arylalkoxy carbonyl; and heterocyclalkoxy carbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; NO₂; oxo; -OR⁹; -NR⁹R¹⁰; -N⁺R⁹R¹¹R¹²A⁻; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; -CONR⁹R¹⁰; -SO₂OM; -SO₂NR⁹R¹⁰; -PR⁹R¹⁰; -P(OR¹³)OR¹⁴; -PO(OR¹⁶)OR¹⁷; and -C(O)OM; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;

provided that at least one of R³, R⁴ and R⁶ is R⁵; and

provided that at least one of the following conditions is satisfied:

(a) the R⁵ moiety possesses an overall positive charge;

(b) the R⁵ moiety comprises a quaternary ammonium group or a quaternary amine salt;

(c) the R⁵ moiety comprises a phosphonic acid group or at least two carboxyl groups; or

(d) the R⁵ moiety comprises a polyethylene glycol group having a molecular weight of at least 1000.

2. A compound of Claim 1 wherein R⁵ is aryl substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R⁵ aryl optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R⁵ aryl optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl;

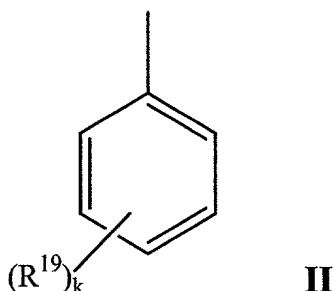
alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

3. A compound of claim 2 wherein R⁵ is:



wherein

k is 0, 1, 2, 3 or 4; and

one or more R^{19} are independently selected from the group consisting of halogen; -CN; -NO₂; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the R^{19} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the R^{19} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl,

alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻-; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻-; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻-; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

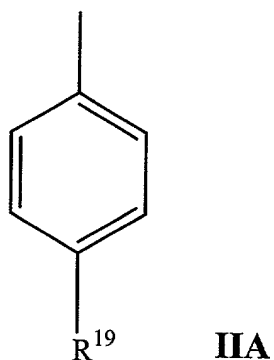
wherein R¹³ and R¹⁴ together with the nitrogen atom to which they are

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wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

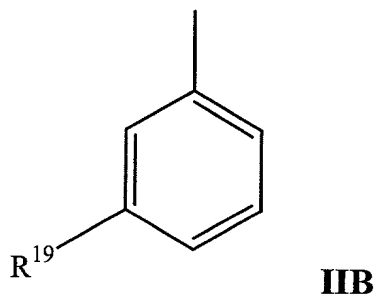
wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

4. A compound of claim 3 wherein R^5 is:



wherein R^{19} is as defined in Claim 3.

5. A compound of claim 3 wherein R^5 is:



wherein R^{19} is as defined in Claim 3.

6. A compound of claim 3 wherein:

R^3 is R^5 ; and

R⁴ is selected from the group consisting of hydrogen and alkyl.

7. A compound of claim 3 wherein:

R³ is selected from the group consisting of hydrogen and alkyl; and

R⁴ is R⁵.

8. A compound of claim 3 wherein:

R³ is R⁵; and

R⁴ is selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and -OR⁹;

wherein the R⁴ alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R⁴ radical optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl;

quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^4 radical optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; $-CN$; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl;

heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; $-OR^{16}$; $-NR^9R^{10}$; $-N^+R^9R^{10}R^wA^-$; $-SR^{16}$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^{16}$; $-CO_2R^{16}$; $-CONR^9R^{10}$; $-SO_2NR^9R^{10}$; $-PO(OR^{16})OR^{17}$; $-P^9R^{10}$; $-P^+R^9R^{10}R^{11}A^-$; $-S^+R^9R^{10}A^-$; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one

or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation.

9. A compound of claim 3 wherein:

R³ is selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and -OR⁹;

wherein the R³ alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R³ radical optionally may be further substituted with one

or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R³ radical optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl;

quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation; and

R⁴ is R⁵.

10. A compound of claim 3 wherein:

R¹⁹ is independently selected from the group consisting of -OR¹³, -NR¹³R¹⁴, -NR¹³C(O)R¹⁴, -OC(O)NR¹³R¹⁴, and -NR¹³SO₂R¹⁴, and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of alkyl, polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, alkylheterocyclalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or N⁺R⁹R¹⁰A⁻, and

wherein R¹³, R¹⁴, and R¹⁵ are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, -SR⁹, -S(O)R⁹, -S(O)₂R⁹, -S(O)₃R⁹, -NR⁹R¹⁰, -N⁺R⁹R¹¹R¹²A⁻, -CONR⁹R¹⁰, and -PO(OR¹⁶)OR¹⁷, and

wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein R^{11} and R^{12} are independently alkyl; and

wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

11. A compound of claim 3 wherein:

R^{19} is independently selected from the group consisting of $-OR^{13}$, $-NR^{13}R^{14}$, $-NR^{13}C(O)R^{14}$, $-OC(O)NR^{13}R^{14}$, and $-NR^{13}SO_2R^{14}$, and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, and alkylheterocyclalkyl,

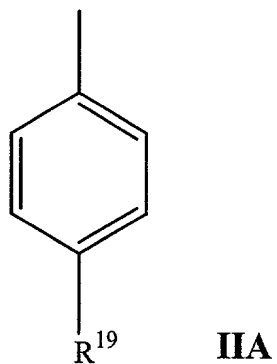
wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein R^{11} and R^{12} are independently alkyl; and

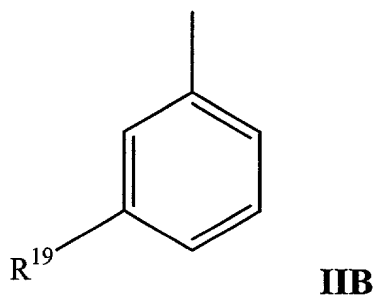
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

12. A compound of claim 10 wherein R⁵ is:



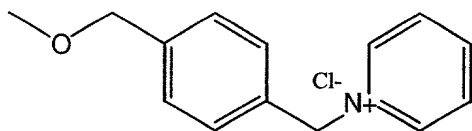
wherein R¹⁹ is as defined in Claim 10.

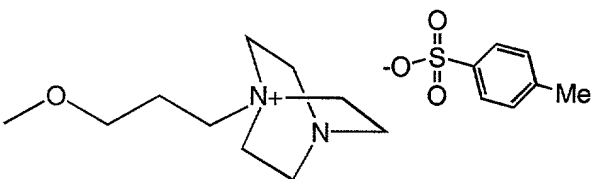
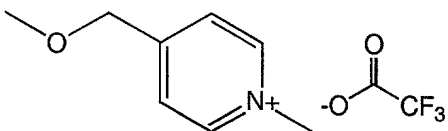
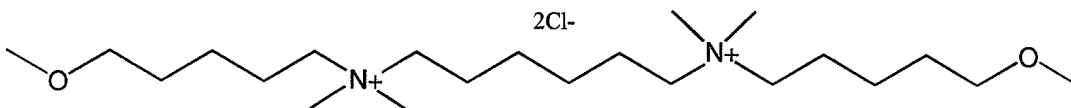
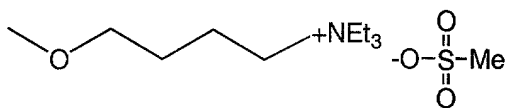
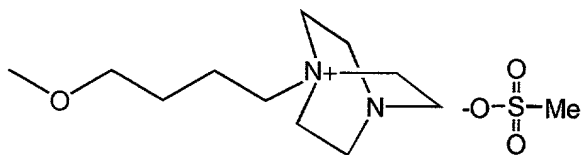
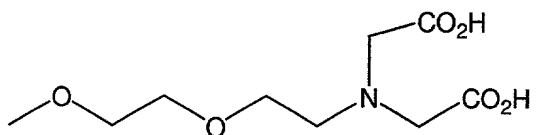
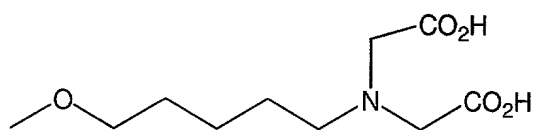
13. A compound of claim 10 wherein R⁵ is:

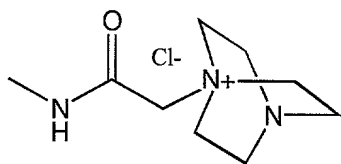
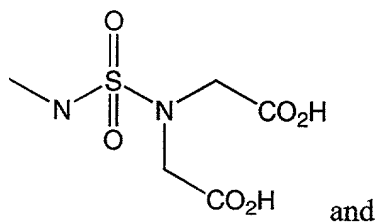
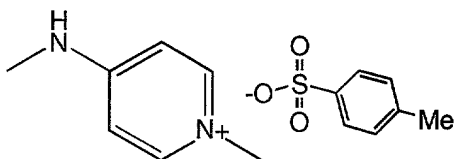
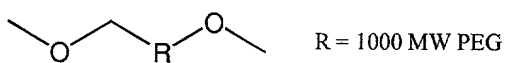
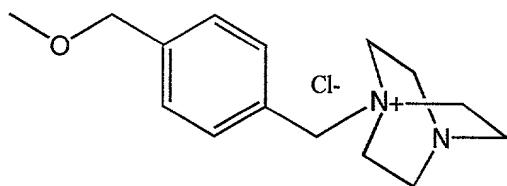


wherein R¹⁹ is as defined in Claim 10.

14. A compound of claim 10 wherein R¹⁹ is selected from the group consisting of:







15. A compound of claim 3 wherein:

j is 2;

R^{1A} and R^{1B} are independently selected from hydrogen and alkyl; and

R^{2A} and R^{2B} are independently selected from hydrogen and alkyl.

16. A compound of claim 3 wherein:

j is 2;

R^{1A} and R^{1B} are hydrogen; and

R^{2A} and R^{2B} are independently selected from alkyl.

17. A compound of claim 3 wherein:

j is 2;

R^{1A} and R^{1B} are hydrogen; and

R^{2A} and R^{2B} are independently selected from ethyl, propyl and butyl.

18. A compound of claim 3 wherein j is 1 or 2.

19. A compound of claim 3 wherein j is 2.

20. A compound of claim 3 wherein R^{1A} and R^{1B} are hydrogen.

21. A compound of claim 3 wherein R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and C_{1-6} alkyl.

22. A compound of claim 3 wherein R^{2A} and R^{2B} are independently selected from the group consisting C_{1-6} alkyl.

23. A compound of claim 3 wherein R^{2A} and R^{2B} are the same alkyl.

24. A compound of claim 3 wherein R^{2A} and R^{2B} are each n-butyl.

25. A compound of claim 3 wherein one of R^{2A} and R^{2B} is ethyl and the other of

R^{2A} and R^{2B} is n-butyl.

26. A compound of claim 3 wherein one or more R^6 are independently selected from methoxy and dimethylamino.

27. A compound of claim 3 wherein

j is 1 or 2;

R^{1A} and R^{1B} are hydrogen;

R^{2A} and R^{2B} are n-butyl; and

one or more R^6 are independently selected from methoxy and dimethylamino.

28. A compound of claim 3 wherein

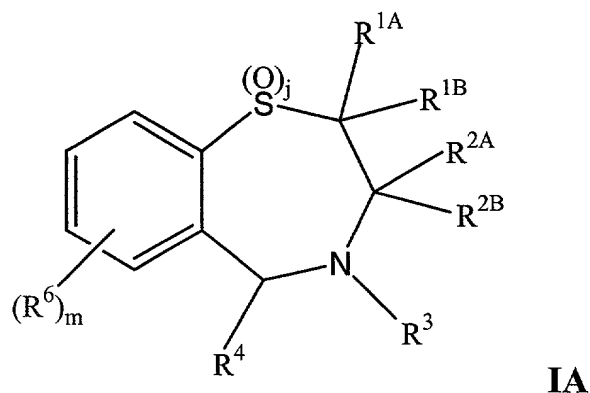
j is 1 or 2;

R^{1A} and R^{1B} are hydrogen;

one of R^{2A} and R^{2B} is ethyl and the other of R^{2A} and R^{2B} is n-butyl; and

one or more R^6 are independently selected from methoxy and dimethylamino.

29. A compound of claim 1 corresponding to Formula IA:



wherein:

j is 0, 1 or 2; and

m is 0, 1, 2, 3 or 4; and

R^{1A} and R^{1B} are independently selected from hydrogen and alkyl; and

R^{2A} and R^{2B} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, and aralkyl; or

R^{2A} and R^{2B} together with the carbon atom to which they are attached form a C_{3-7} cycloalkyl group; and

R^3 and R^4 are independently selected from the group consisting of hydrogen, oxo, acyl, thioacyl, and R^5 ; and

wherein R^5 is selected from the group consisting of alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; $-OR^9$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; and $-SO_3R^9$;

wherein the R^5 alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; and quaternary heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; $-CN$; $-NO_2$; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^5 radical optionally may be further substituted with one or more radicals selected from the group consisting of $-CN$; halogen; hydroxy; oxo;

alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^5 radical optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; $-CN$; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group

consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl;

alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

one or more R⁶ radicals are independently selected from the group consisting of R⁵, hydrogen; halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -OR¹⁸; -S(O)NR¹³R¹⁴; -NR¹³R¹⁸; -NR¹⁸OR¹⁴; -N⁺R¹³R¹⁴R¹⁵A⁻; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R⁶ alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; -CN; oxo; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹¹R¹²A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R⁶ quaternary heterocyclyl radical optionally may be

substituted with one or more radicals selected from the group consisting of halogen; -CN; -NO₂; oxo; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -P(O)R¹³R¹⁴; -P¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; -N⁺R¹³R¹⁴R¹⁵A⁻; and carbohydrate residue; and

wherein the R⁶ radicals comprising carbon optionally may have one or more carbons replaced by -O-; -NR¹³-; -N⁺R¹³R¹⁴A⁻; -S-; -SO-; -SO₂-; -S⁺R¹³A⁻; -PR¹³-; -P(O)R¹³-; -PR¹³R¹⁴; -P⁺R¹³R¹⁴A⁻; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; or -P(O)R⁹-; and

wherein R¹⁸ is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

wherein the R¹⁸ alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; NO₂; oxo; -OR⁹; -NR⁹R¹⁰; -N⁺R⁹R¹¹R¹²A⁻; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; -CONR⁹R¹⁰; -SO₂OM; -SO₂NR⁹R¹⁰; -PR⁹R¹⁰; -P(OR¹³)OR¹⁴; -PO(OR¹⁶)OR¹⁷; and -C(O)OM; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;

provided that at least one of R^3 , R^4 and R^6 is R^5 ; and

provided that the R^5 alkyl, cycloalkyl, aryl, heterocyclyl, and $-OR^9$ radicals are not substituted with $-O(CH_2)_{1-4}NR'R''R'''$ wherein R' , R'' and R''' are independently selected from hydrogen and alkyl; and

provided that at least one of the following conditions is satisfied:

(a) the R^5 moiety possesses an overall positive charge; and/or

(b) the R^5 moiety comprises a quaternary ammonium group or a quaternary amine salt; and/or

(c) the R^5 moiety comprises at least two carboxy groups.

30. A compound of Claim 29 wherein R^5 is aryl substituted with one or more radicals independently selected from the group consisting of halogen; $-CN$; $-NO_2$; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^5 aryl optionally may be further substituted with one or more radicals selected from the group consisting of $-CN$; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl;

quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^5 aryl optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; $-CN$; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl;

heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

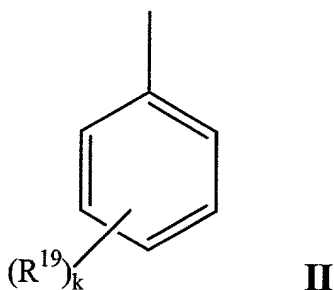
wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one

or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

31. A compound of claim 30 wherein R⁵ is:



wherein

k is 0, 1, 2, 3 or 4; and

one or more R¹⁹ are independently selected from the group consisting of halogen; -CN; -NO₂; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -

$$\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}; -\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}; -\text{PR}^{13}\text{R}^{14}; -\text{P}(\text{O})\text{R}^{13}\text{R}^{14}; -\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-; -\text{P}(\text{OR}^{13})\text{OR}^{14}; -\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-; \text{ and } -\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-; \text{ and}$$

wherein the R¹⁹ alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the R¹⁹ alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻-; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻-; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻-; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -

S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R¹³ and R¹⁴ together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R¹⁴ and R¹⁵ together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻;

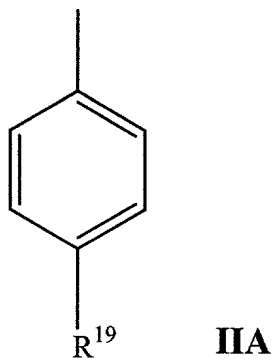
-S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

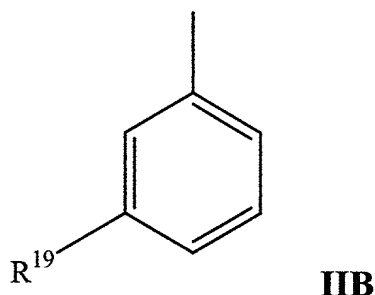
wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

32. A compound of claim 31 wherein R⁵ is:



wherein R¹⁹ is as defined in Claim 31.

33. A compound of claim 31 wherein R⁵ is:



wherein R^{19} is as defined in Claim 31.

34. A compound of claim 31 wherein:

R^3 is R^5 ; and

R^4 is selected from the group consisting of hydrogen and alkyl.

35. A compound of claim 31 wherein:

R^3 is selected from the group consisting of hydrogen and alkyl; and

R^4 is R^5 .

36. A compound of claim 31 wherein:

R^3 is R^5 ; and

R^4 is selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and $-OR^9$;

wherein the R^4 alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; $-CN$; $-NO_2$; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; -

CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R⁴ radical optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R⁴ radical optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; $-\text{OR}^{16}$; $-\text{NR}^9\text{R}^{10}$; $-\text{N}^+\text{R}^9\text{R}^{10}\text{R}^{\text{w}}\text{A}^-$; $-\text{SR}^{16}$; $-\text{S}(\text{O})\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^{16}$; $-\text{CO}_2\text{R}^{16}$; $-\text{CONR}^9\text{R}^{10}$; $-\text{SO}_2\text{NR}^9\text{R}^{10}$; $-\text{PO}(\text{OR}^{16})\text{OR}^{17}$; $-\text{P}^9\text{R}^{10}$; $-\text{P}^+\text{R}^9\text{R}^{10}\text{R}^{11}\text{A}^-$; $-\text{S}^+\text{R}^9\text{R}^{10}\text{A}^-$; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation.

37. A compound of claim 31 wherein:

R³ is selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and -OR⁹;

wherein the R³ alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -

$\text{NR}^{13}\text{R}^{14}$; $-\text{SR}^{13}$; $-\text{S}(\text{O})\text{R}^{13}$; $-\text{SO}_2\text{R}^{13}$; $-\text{SO}_3\text{R}^{13}$; $-\text{NR}^{13}\text{OR}^{14}$; $-\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$; $-\text{CO}_2\text{R}^{13}$; $-\text{OM}$; $-\text{SO}_2\text{OM}$; $-\text{SO}_2\text{NR}^{13}\text{R}^{14}$; $-\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$; $-\text{C}(\text{O})\text{OM}$; $-\text{COR}^{13}$; $-\text{NR}^{13}\text{C}(\text{O})\text{R}^{14}$; $-\text{NR}^{13}\text{C}(\text{O})\text{NR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{CO}_2\text{R}^{14}$; $-\text{OC}(\text{O})\text{R}^{13}$; $-\text{OC}(\text{O})\text{NR}^{13}\text{R}^{14}$; $-\text{NR}^{13}\text{SOR}^{14}$; $-\text{NR}^{13}\text{SO}_2\text{R}^{14}$; $-\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$; $-\text{PR}^{13}\text{R}^{14}$; $-\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$; $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; $-\text{P}(\text{OR}^{13})\text{OR}^{14}$; $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; and $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^3 radical optionally may be further substituted with one or more radicals selected from the group consisting of $-\text{CN}$; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-\text{OR}^7$; $-\text{NR}^7\text{R}^8$; $-\text{SR}^7$; $-\text{S}(\text{O})\text{R}^7$; $-\text{SO}_2\text{R}^7$; $-\text{SO}_3\text{R}^7$; $-\text{CO}_2\text{R}^7$; $-\text{CONR}^7\text{R}^8$; $-\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$; $-\text{P}(\text{O})\text{R}^7\text{R}^8$; $-\text{PR}^7\text{R}^8$; $-\text{P}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$; and $-\text{P}(\text{O})(\text{OR}^7)\text{OR}^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^3 radical optionally may have one or more carbons replaced by $-\text{O}-$; $-\text{NR}^7-$; $-\text{N}^+\text{R}^7\text{R}^8\text{A}^-$; $-\text{S}-$; $-\text{SO}-$; $-\text{SO}_2-$; $-\text{S}^+\text{R}^7\text{A}^-$; $-\text{PR}^7-$; $-\text{P}(\text{O})\text{R}^7-$; $-\text{P}^+\text{R}^7\text{R}^8\text{A}^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl;

carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be

substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation; and

R⁴ is R⁵.

38. A compound of claim 31 wherein:

R¹⁹ is independently selected from the group consisting of -OR¹³, -NR¹³R¹⁴, -NR¹³C(O)R¹⁴, -OC(O)NR¹³R¹⁴, and -NR¹³SO₂R¹⁴, and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group

consisting of alkyl, polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, alkylheterocyclalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $N^+R^9R^{10}A-$, and

wherein R¹³, R¹⁴, and R¹⁵ are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, -SR⁹, -S(O)R⁹, -S(O)₂R⁹, -S(O)₃R⁹, -NR⁹R¹⁰, -N⁺R⁹R¹¹R¹²A⁻, -CONR⁹R¹⁰, and -PO(OR¹⁶)OR¹⁷, and

wherein R⁹ and R¹⁰ are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein R¹¹ and R¹² are independently alkyl; and

wherein A⁻ is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

39. A compound of claim 31 wherein:

R¹⁹ is independently selected from the group consisting of -OR¹³, -NR¹³R¹⁴, -NR¹³C(O)R¹⁴, -OC(O)NR¹³R¹⁴, and -NR¹³SO₂R¹⁴, and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, and alkylheterocyclalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $N^+R^9R^{10}A-$, and

wherein R¹³, R¹⁴, and R¹⁵ are optionally substituted with one or more groups

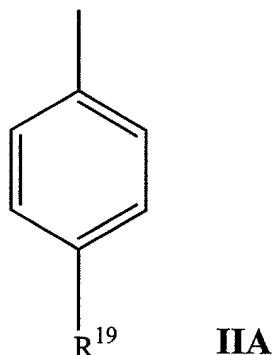
selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, $-\text{SR}^9$, $-\text{S(O)R}^9$, $-\text{S(O)}_2\text{R}^9$, $-\text{S(O)}_3\text{R}^9$, $-\text{NR}^9\text{R}^{10}$, $-\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$, $-\text{CONR}^9\text{R}^{10}$, and $-\text{PO(OR}^{16})\text{OR}^{17}$, and

wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein R^{11} and R^{12} are independently alkyl; and

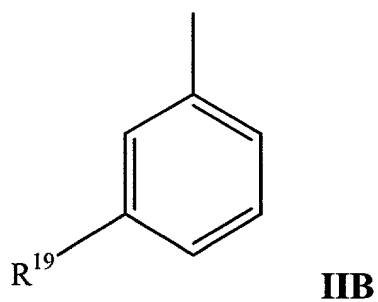
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

40. A compound of claim 38 wherein R^5 is:



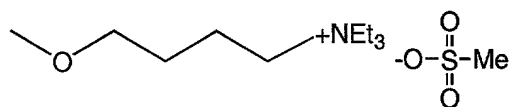
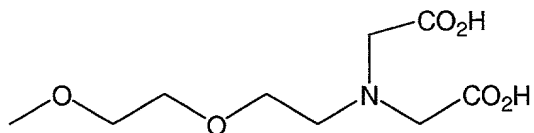
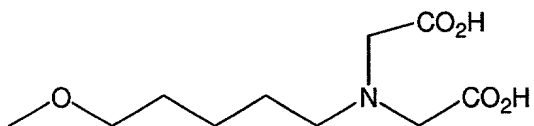
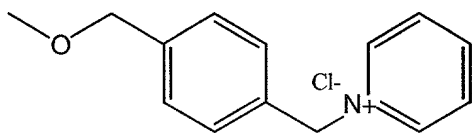
wherein R^{19} is as defined in Claim 38.

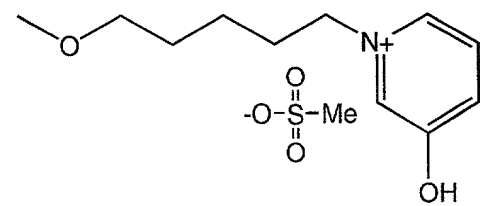
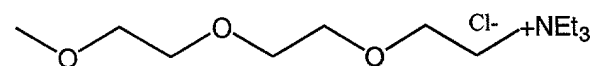
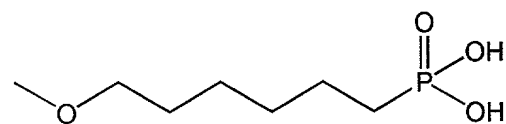
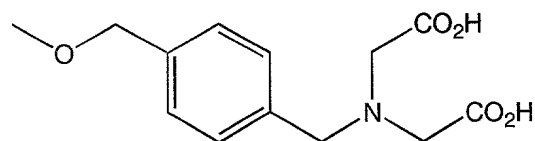
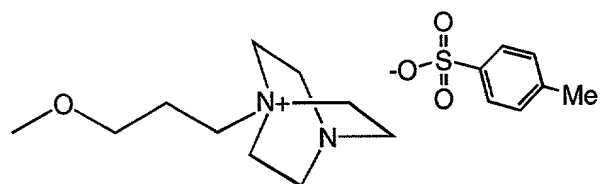
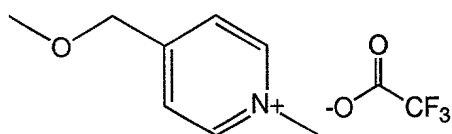
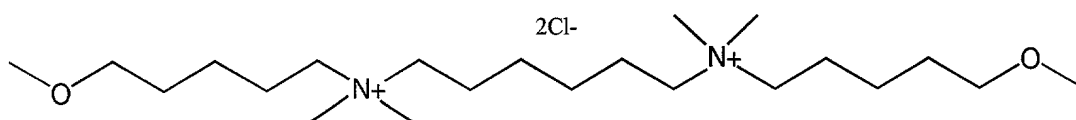
41. A compound of claim 38 wherein R^5 is:

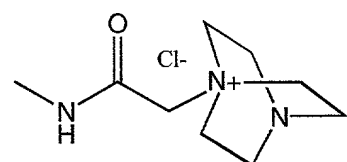
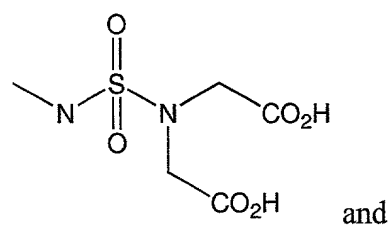
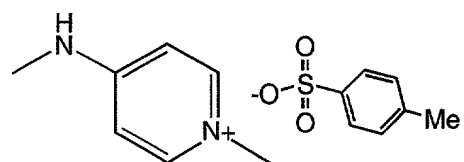
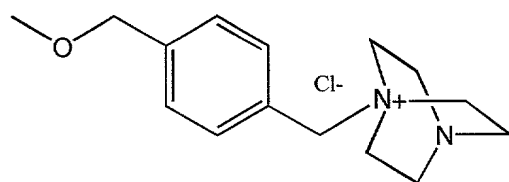
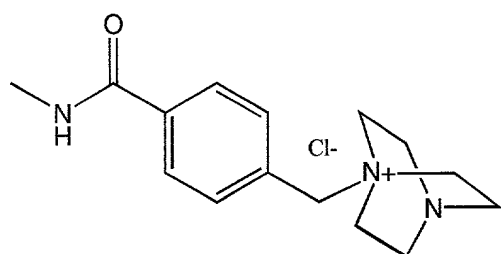
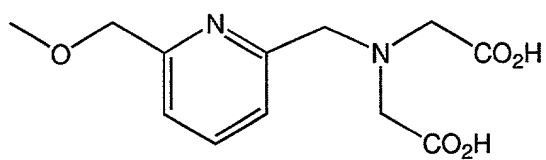


wherein R¹⁹ is as defined in Claim 38.

42. A compound of claim 38 wherein R¹⁹ is selected from the group consisting of:







43. A compound of claim 38 wherein:

j is 2;

R^{1A} and R^{1B} are independently selected from hydrogen and alkyl; and

R^{2A} and R^{2B} are independently selected from hydrogen and alkyl.

44. A compound of claim 38 wherein:

j is 2;

R^{1A} and R^{1B} are hydrogen; and

R^{2A} and R^{2B} are independently selected from alkyl.

45. A compound of claim 38 wherein:

j is 2;

R^{1A} and R^{1B} are hydrogen; and

R^{2A} and R^{2B} are independently selected from ethyl, propyl and butyl.

46. A compound of claim 38 wherein:

j is 2;

R^{1A} and R^{1B} are hydrogen;

R^{2A} and R^{2B} are independently selected from ethyl, propyl and butyl;

R^3 is R^5 ; and

R^4 is selected from hydrogen and alkyl.

47. A compound of claim 38 wherein:

j is 2;

R^{1A} and R^{1B} are hydrogen;

R^{2A} and R^{2B} are independently selected from ethyl, propyl and butyl;

R^3 is selected from from hydrogen and alkyl; and
 R^4 is R^5 .

48. A compound of claim 38 wherein j is 1 or 2.

49. A compound of claim 38 wherein j is 2.

50. A compound of claim 38 wherein R^{1A} and R^{1B} are hydrogen.

51. A compound of claim 38 wherein R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and C_{1-6} alkyl.

52. A compound of claim 38 wherein R^{2A} and R^{2B} are independently selected from the group consisting C_{1-6} alkyl.

53. A compound of claim 38 wherein R^{2A} and R^{2B} are the same alkyl.

54. A compound of claim 38 wherein R^{2A} and R^{2B} are each n-butyl.

55. A compound of claim 38 wherein one of R^{2A} and R^{2B} is ethyl and the other of R^{2A} and R^{2B} is n-butyl.

56. A compound of claim 38 wherein one or more R^6 are independently selected from methoxy and dimethylamino.

57. A compound of claim 38 wherein
 j is 1 or 2;

R^{1A} and R^{1B} are hydrogen;
 R^{2A} and R^{2B} are n-butyl; and
one or more R^6 are independently selected from methoxy and dimethylamino.

58. A compound of claim 38 wherein
j is 1 or 2;
 R^{1A} and R^{1B} are hydrogen;
one of R^{2A} and R^{2B} is ethyl and the other of R^{2A} and R^{2B} is n-butyl; and
one or more R^6 are independently selected from methoxy and dimethylamino.

59. A compound of claim 42 wherein:
j is 2;
 R^{1A} and R^{1B} are independently selected from hydrogen and alkyl; and
 R^{2A} and R^{2B} are independently selected from hydrogen and alkyl.

60. A compound of claim 42 wherein:
j is 2;
 R^{1A} and R^{1B} are hydrogen; and
 R^{2A} and R^{2B} are independently selected from alkyl.

61. A compound of claim 42 wherein:
j is 2;
 R^{1A} and R^{1B} are hydrogen; and
 R^{2A} and R^{2B} are independently selected from ethyl, propyl and butyl.

62. A compound of claim 42 wherein:
j is 2;

R^{1A} and R^{1B} are hydrogen;

R^{2A} and R^{2B} are independently selected from ethyl, propyl and butyl;

R^3 is R^5 ; and

R^4 is selected from hydrogen and alkyl.

63. A compound of claim 42 wherein:

j is 2;

R^{1A} and R^{1B} are hydrogen;

R^{2A} and R^{2B} are independently selected from ethyl, propyl and butyl;

R^3 is selected from hydrogen and alkyl; and

R^4 is R^5 .

64. A compound of claim 42 wherein j is 1 or 2.

65. A compound of claim 42 wherein j is 2.

66. A compound of claim 42 wherein R^{1A} and R^{1B} are hydrogen.

67. A compound of claim 42 wherein R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and C_{1-6} alkyl.

68. A compound of claim 42 wherein R^{2A} and R^{2B} are independently selected from the group consisting C_{1-6} alkyl.

69. A compound of claim 42 wherein R^{2A} and R^{2B} are the same alkyl.

70. A compound of claim 42 wherein R^{2A} and R^{2B} are each n-butyl.

71. A compound of claim 42 wherein one of R^{2A} and R^{2B} is ethyl and the other of R^{2A} and R^{2B} is n-butyl.

72. A compound of claim 42 wherein one or more R^6 are independently selected from methoxy and dimethylamino.

73. A compound of claim 42 wherein

j is 1 or 2;

R^{1A} and R^{1B} are hydrogen;

R^{2A} and R^{2B} are n-butyl; and

one or more R^6 are independently selected from methoxy and dimethylamino.

74. A compound of claim 42 wherein

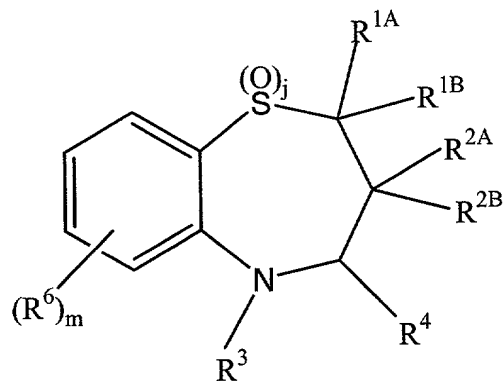
j is 1 or 2;

R^{1A} and R^{1B} are hydrogen;

one of R^{2A} and R^{2B} is ethyl and the other of R^{2A} and R^{2B} is n-butyl; and

one or more R^6 are independently selected from methoxy and dimethylamino.

75. A compound of claim 1 corresponding to Formula IB:



IB

wherein:

j is 0, 1 or 2; and

m is 0, 1, 2, 3 or 4; and

R^{1A} and R^{1B} are independently selected from hydrogen and alkyl; and

R^{2A} and R^{2B} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, and aralkyl; or

R^{2A} and R^{2B} together with the carbon atom to which they are attached form a C_{3-7} cycloalkyl group; and

R^3 and R^4 are independently selected from the group consisting of hydrogen, oxo, acyl, thioacyl and R^5 ; and

wherein R^5 is selected from the group consisting of alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; $-OR^9$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; and $-SO_3R^9$;

wherein the R^5 alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; and quaternary heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; $-CN$; $-NO_2$; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl,

and polyether substituents of the R^5 radical optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^5 radical optionally may have one or more carbons replaced by -O-; $-NR^7$ -; $-N^+R^7R^8A^-$; -S-; -SO-; $-SO_2$ -; $-S^+R^7A^-$; $-PR^7$ -; $-P(O)R^7$ -; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a

cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl;

alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

one or more R⁶ radicals are independently selected from the group consisting of R⁵, hydrogen; halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -OR¹⁸; -S(O)NR¹³R¹⁴; -NR¹³R¹⁸; -NR¹⁸OR¹⁴; -N⁺R¹³R¹⁴R¹⁵A⁻; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R⁶ alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; -CN; oxo; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹

; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰
; -P⁺R⁹R¹¹R¹²A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R⁶ quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; -NO₂; oxo; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -P(O)R¹³R¹⁴; -P¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; -N⁺R¹³R¹⁴R¹⁵A⁻; and carbohydrate residue; and

wherein the R⁶ radicals comprising carbon optionally may have one or more carbons replaced by -O-; -NR¹³-; -N⁺R¹³R¹⁴A⁻; -S-; -SO-; -SO₂-; -S⁺R¹³A⁻; -PR¹³-; -P(O)R¹³-; -PR¹³R¹⁴; -P⁺R¹³R¹⁴A⁻; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; or -P(O)R⁹-; and

wherein R¹⁸ is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclylalkoxycarbonyl; and

wherein the R¹⁸ alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclylalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; NO₂; oxo; -

OR⁹; -NR⁹R¹⁰; -N⁺R⁹R¹¹R¹²A⁻; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; -CONR⁹R¹⁰; -SO₂OM; -SO₂NR⁹R¹⁰; -PR⁹R¹⁰; -P(OR¹³)OR¹⁴; -PO(OR¹⁶)OR¹⁷; and -C(O)OM; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;

provided that at least one of R³, R⁴ and R⁶ is R⁵; and

provided that the R⁵ alkyl, cycloalkyl, aryl, and heterocyclyl, and -OR⁹ radicals are not substituted with -O(CH₂)₁₋₄NR'R''R''' wherein R', R'' and R''' are independently selected from hydrogen and alkyl; and

provided that at least one of the following conditions is satisfied:

(a) the R⁵ moiety possesses an overall positive charge;

(b) the R⁵ moiety comprises a quaternary ammonium group or a quaternary amine salt; and

(c) the R⁵ moiety comprises at least two carboxy groups.

76. A compound of Claim 75 wherein R⁵ is aryl substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl,

haloalkyl, hydroxyalkyl, cycloalkyl,

alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^5 aryl optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^5 aryl optionally may have one or more carbons replaced by -O-; $-NR^7$ -; $-N^+R^7R^8A^-$; -S-; -SO-; $-SO_2$ -; $-S^+R^7A^-$; $-PR^7$ -; $-P(O)R^7$ -; $-P^+R^7R^8A^-$; or phenylene;

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl;

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R¹³ and R¹⁴ together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R¹⁴ and R¹⁵ together with the nitrogen atom to which they are attached form a cyclic ring; and

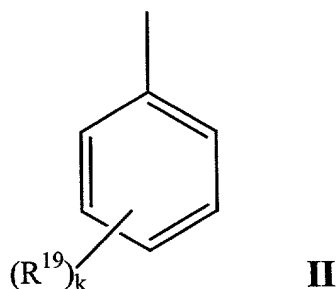
wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻-; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻-; -PR⁹-; -P⁺R⁹R¹⁰A⁻-; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

77. A compound of claim 76 wherein R^5 is:



wherein

k is 0, 1, 2, 3 or 4; and

one or more R^{19} are independently selected from the group consisting of halogen; -CN; -NO₂; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl;

heterocyclylalkyl; polyether; $-\text{OR}^{13}$; $-\text{NR}^{13}\text{R}^{14}$; $-\text{SR}^{13}$; $-\text{S}(\text{O})\text{R}^{13}$; $-\text{SO}_2\text{R}^{13}$; $-\text{SO}_3\text{R}^{13}$; $-\text{NR}^{13}\text{OR}^{14}$; $-\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$; $-\text{CO}_2\text{R}^{13}$; $-\text{OM}$; $-\text{SO}_2\text{OM}$; $-\text{SO}_2\text{NR}^{13}\text{R}^{14}$; $-\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$; $-\text{C}(\text{O})\text{OM}$; $-\text{COR}^{13}$; $-\text{NR}^{13}\text{C}(\text{O})\text{R}^{14}$; $-\text{NR}^{13}\text{C}(\text{O})\text{NR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{CO}_2\text{R}^{14}$; $-\text{OC}(\text{O})\text{R}^{13}$; $-\text{OC}(\text{O})\text{NR}^{13}\text{R}^{14}$; $-\text{NR}^{13}\text{SOR}^{14}$; $-\text{NR}^{13}\text{SO}_2\text{R}^{14}$; $-\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$; $-\text{PR}^{13}\text{R}^{14}$; $-\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$; $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; $-\text{P}(\text{OR}^{13})\text{OR}^{14}$; $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; and $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; and

wherein the R¹⁹ alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the R¹⁹ alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino;

carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo;

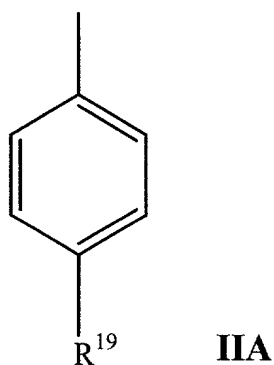
oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl;
 quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl;
 guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶;
 -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻;
 -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl;
 alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl;
 quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl;
 alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl;
 carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or
 more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹
 -; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue;
 peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹
 and M; and

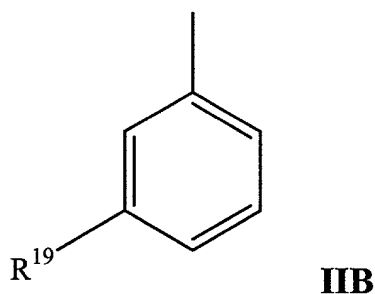
wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically
 acceptable cation.

78. A compound of claim 77 wherein R⁵ is:



wherein R^{19} is as defined in Claim 77.

79. A compound of claim 77 wherein R^5 is:



wherein R^{19} is as defined in Claim 77.

80. A compound of claim 77 wherein:

R^3 is R^5 ; and

R^4 is selected from the group consisting of hydrogen and alkyl.

81. A compound of claim 77 wherein:

R^3 is selected from the group consisting of hydrogen and alkyl; and

R^4 is R^5 .

82. A compound of claim 77 wherein:

R^3 is R^5 ; and

R^4 is selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and $-OR^9$;

wherein the R^4 alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; $-CN$; $-NO_2$; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^4 radical optionally may be further substituted with one or more radicals selected from the group consisting of $-CN$; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^4 radical optionally may have one or more carbons

replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R¹³ and R¹⁴ together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one

or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation.

83. A compound of claim 77 wherein:

R^3 is selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and $-OR^9$;

wherein the R^3 alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; $-CN$; $-NO_2$; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^3 radical optionally may be further substituted with one or more radicals selected from the group consisting of $-CN$; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl,

alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^3 radical optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; $-CN$; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are

attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation; and

R^4 is R^5 .

84. A compound of claim 77 wherein:

R^{19} is independently selected from the group consisting of $-OR^{13}$, $-NR^{13}R^{14}$, $-NR^{13}C(O)R^{14}$, $-OC(O)NR^{13}R^{14}$, and $-NR^{13}SO_2R^{14}$, and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of alkyl, polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, alkylheterocyclalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $N^+R^9R^{10}A^-$, and

wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein R^{11} and R^{12} are independently alkyl; and

wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

85. A compound of claim 77 wherein:

R^{19} is independently selected from the group consisting of $-OR^{13}$, $-NR^{13}R^{14}$, $-NR^{13}C(O)R^{14}$, $-OC(O)NR^{13}R^{14}$, and $-NR^{13}SO_2R^{14}$, and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, and alkylheterocyclalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $N^+R^9R^{10}A^-$, and

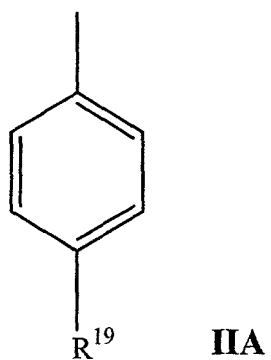
wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein R^{11} and R^{12} are independently alkyl; and

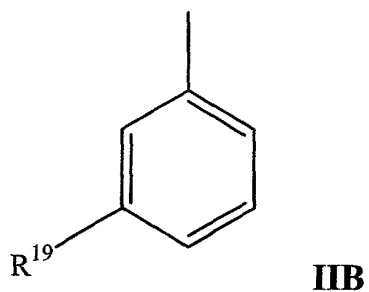
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

86. A compound of claim 84 wherein R^5 is:



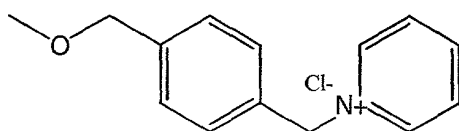
wherein R¹⁹ is as defined in Claim 84.

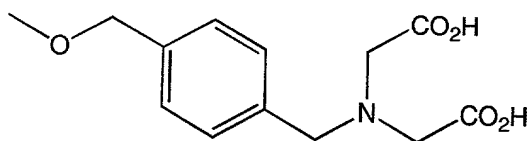
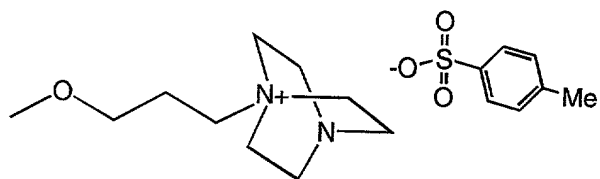
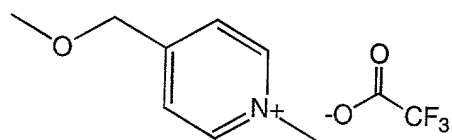
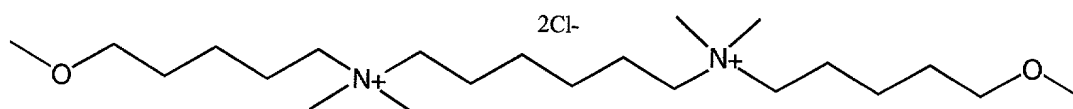
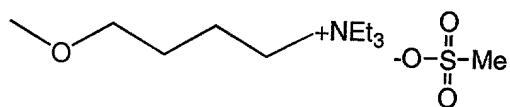
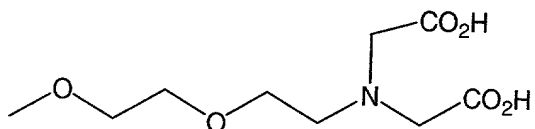
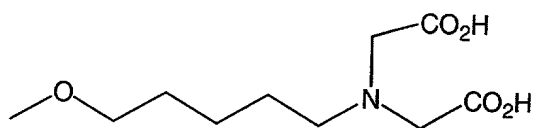
87. A compound of claim 84 wherein R⁵ is:

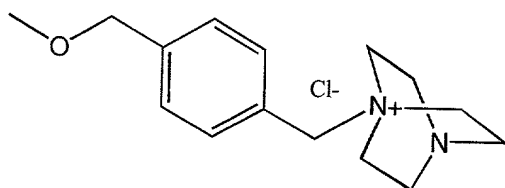
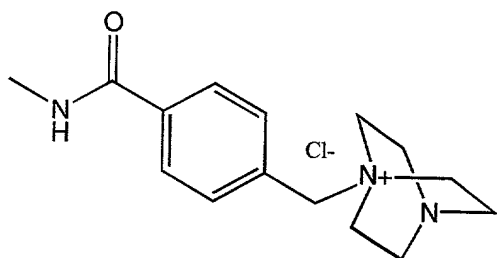
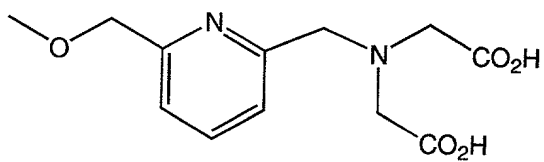
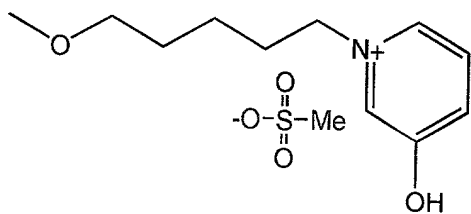
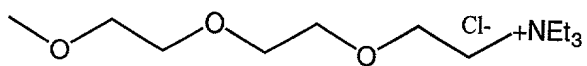
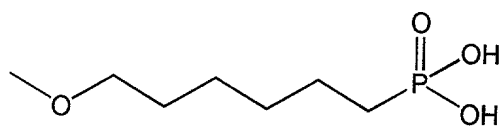


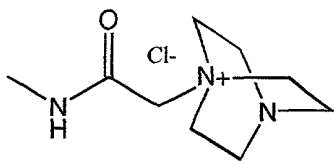
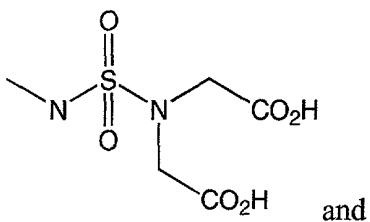
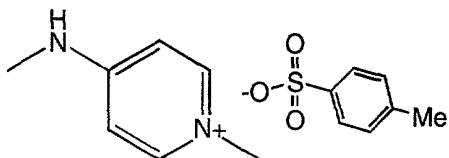
wherein R¹⁹ is as defined in Claim 84.

88. A compound of claim 84 wherein R¹⁹ is selected from the group consisting of:









89. A compound of claim 84 wherein:

j is 2;

R^{1A} and R^{1B} are independently selected from hydrogen and alkyl; and

R^{2A} and R^{2B} are independently selected from hydrogen and alkyl.

90. A compound of claim 84 wherein:

j is 2;

R^{1A} and R^{1B} are hydrogen; and

R^{2A} and R^{2B} are independently selected from alkyl.

91. A compound of claim 84 wherein:

j is 2;

R^{1A} and R^{1B} are hydrogen; and

R^{2A} and R^{2B} are independently selected from ethyl, propyl and butyl.

92. A compound of claim 84 wherein:

j is 2;

R^{1A} and R^{1B} are hydrogen;

R^{2A} and R^{2B} are independently selected from ethyl, propyl and butyl;

R^3 is R^5 ; and

R^4 is selected from hydrogen and alkyl.

93. A compound of claim 84 wherein:

j is 2;

R^{1A} and R^{1B} are hydrogen;

R^{2A} and R^{2B} are independently selected from ethyl, propyl and butyl;

R^3 is selected from hydrogen and alkyl; and

R^4 is R^5 .

94. A compound of claim 84 wherein j is 1 or 2.

95. A compound of claim 84 wherein j is 2.

96. A compound of claim 84 wherein R^{1A} and R^{1B} are hydrogen.

97. A compound of claim 84 wherein R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and C_{1-6} alkyl.

98. A compound of claim 84 wherein R^{2A} and R^{2B} are independently selected from the group consisting C_{1-6} alkyl.

106. A compound of claim 88 wherein:

j is 2;

R^{1A} and R^{1B} are hydrogen; and

R^{2A} and R^{2B} are independently selected from alkyl.

107. A compound of claim 88 wherein:

j is 2;

R^{1A} and R^{1B} are hydrogen; and

R^{2A} and R^{2B} are independently selected from ethyl, propyl and butyl.

108. A compound of claim 88 wherein:

j is 2;

R^{1A} and R^{1B} are hydrogen;

R^{2A} and R^{2B} are independently selected from ethyl, propyl and butyl;

R^3 is R^5 ; and

R^4 is selected from hydrogen and alkyl.

109. A compound of claim 88 wherein:

j is 2;

R^{1A} and R^{1B} are hydrogen;

R^{2A} and R^{2B} are independently selected from ethyl, propyl and butyl;

R^3 is selected from from hydrogen and alkyl; and

R^4 is R^5 .

110. A compound of claim 88 wherein j is 1 or 2.

111. A compound of claim 88 wherein j is 2.
112. A compound of claim 88 wherein R^{1A} and R^{1B} are hydrogen.
113. A compound of claim 88 wherein R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and C_{1-6} alkyl.
114. A compound of claim 88 wherein R^{2A} and R^{2B} are independently selected from the group consisting C_{1-6} alkyl.
115. A compound of claim 88 wherein R^{2A} and R^{2B} are the same alkyl.
116. A compound of claim 88 wherein R^{2A} and R^{2B} are each n-butyl.
117. A compound of claim 88 wherein one of R^{2A} and R^{2B} is ethyl and the other of R^{2A} and R^{2B} is n-butyl.
118. A compound of claim 88 wherein one or more R^6 are independently selected from methoxy and dimethylamino.
119. A compound of claim 88 wherein
j is 1 or 2;
 R^{1A} and R^{1B} are hydrogen;
 R^{2A} and R^{2B} are n-butyl; and
one or more R^6 are independently selected from methoxy and dimethylamino.
120. A compound of claim 88 wherein

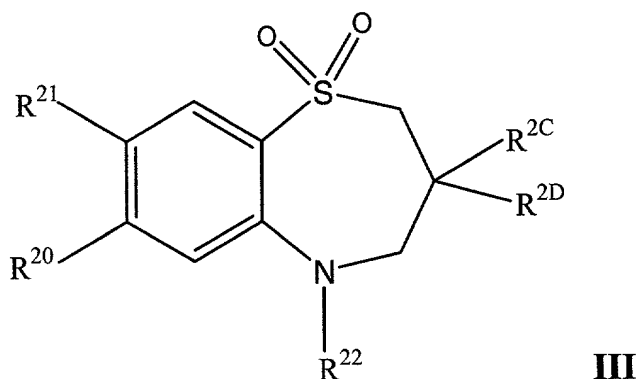
j is 1 or 2;

R^{1A} and R^{1B} are hydrogen;

one of R^{2A} and R^{2B} is ethyl and the other of R^{2A} and R^{2B} is n-butyl; and

one or more R^6 are independently selected from methoxy and dimethylamino.

121. A compound of Formula III:



wherein:

R^{2C} and R^{2D} are independently selected from C_{1-6} alkyl; and

R^{20} is selected from the group consisting of halogen and R^{23} ;

R^{21} is selected from the group consisting of hydroxy, alkoxy, and R^{23} ; and

wherein R^{23} is aryl substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{23} aryl optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{23} aryl optionally may have one or more carbons replaced by -O-; $-NR^7$ -; $-N^+R^7R^8A^-$; -S-; -SO-; $-SO_2$ -; $-S^+R^7A^-$; $-PR^7$ -; $-P(O)R^7$ -; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; $-OR^{16}$; $-NR^9R^{10}$; $-N^+R^9R^{10}R^wA^-$; $-SR^{16}$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^{16}$; $-CO_2R^{16}$; $-CONR^9R^{10}$; $-SO_2NR^9R^{10}$; $-PO(OR^{16})OR^{17}$; $-P^9R^{10}$; $-P^+R^9R^{10}R^{11}A^-$; $-S^+R^9R^{10}A^-$; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by $-O-$; $-NR^9-$; $-N^+R^9R^{10}A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^9A^-$; $-PR^9-$; $-P^+R^9R^{10}A^-$; $-P(O)R^9-$; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

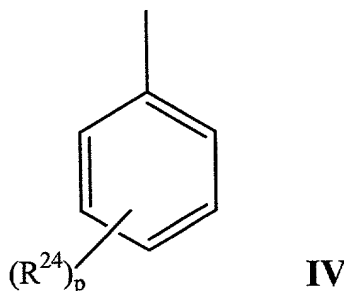
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

R^{22} is unsubstituted phenyl or R^{23} ; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;

provided that at least one of R^{20} , R^{21} and R^{22} is R^{23} .

122. A compound of Claim 121 wherein R^{23} is:



wherein

p is 0, 1, 2, 3 or 4; and

one or more R^{24} are independently selected from the group consisting of

halogen; -CN; -NO₂; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the R²⁴ alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary

heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the R²⁴ alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl;

alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocycl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocycl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocycl; quaternary heterocycl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocycl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocycl; quaternary heterocycl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl;

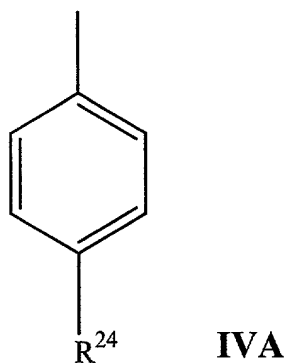
alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

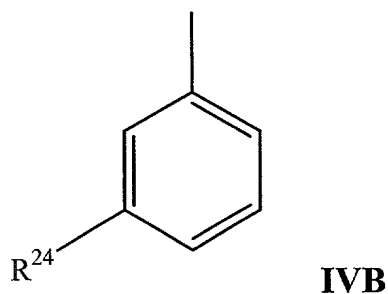
wherein A⁻ is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

123. A compound of claim 122 wherein R²³ is:



wherein R^{24} is as defined in Claim 122.

124. A compound of claim 122 wherein R^{23} is:



wherein R^{24} is as defined in Claim 122.

125. A compound of claim 122 wherein:

R^{24} is independently selected from the group consisting of $-OR^{13}$, $-NR^{13}R^{14}$, $-NR^{13}C(O)R^{14}$, $-OC(O)NR^{13}R^{14}$, and $-NR^{13}SO_2R^{14}$, and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of alkyl, polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, alkylheterocyclalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $N^+R^9R^{10}A^-$, and

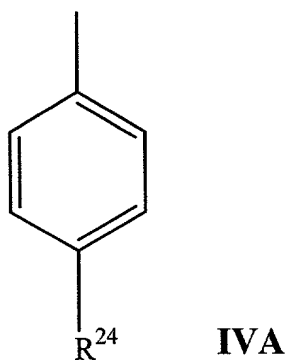
wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein R^{11} and R^{12} are independently alkyl; and

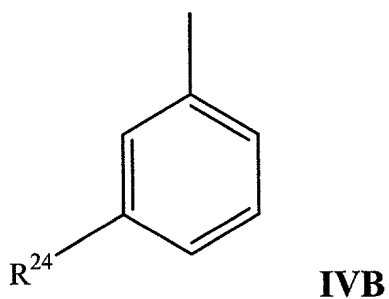
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

126. A compound of claim 125 wherein R^{23} is:



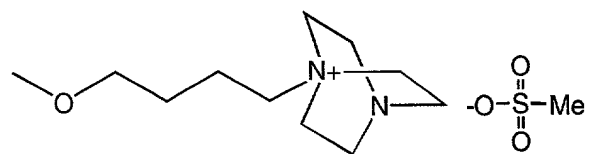
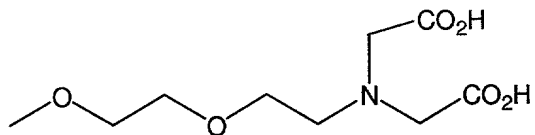
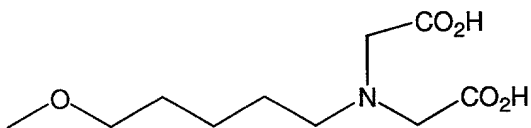
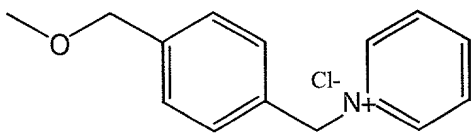
wherein R^{24} is as defined in Claim 125.

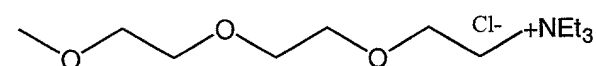
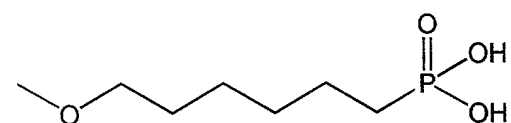
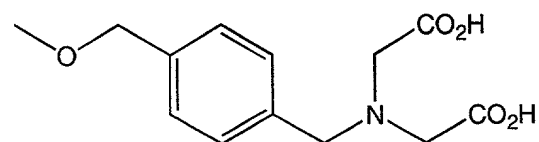
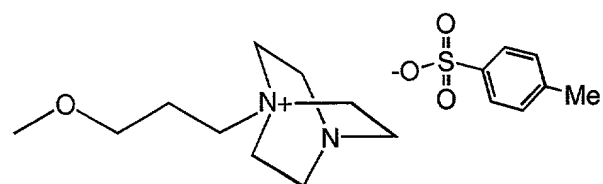
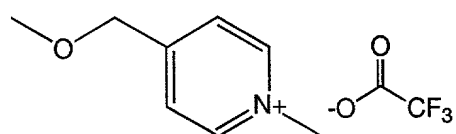
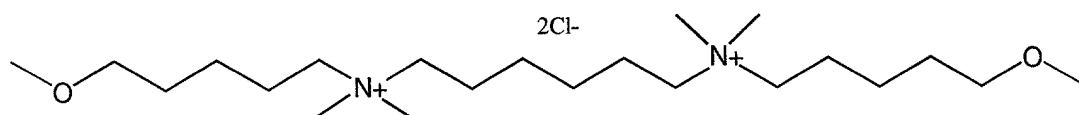
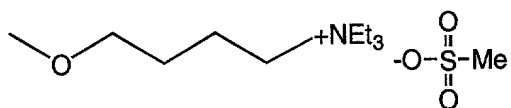
127. A compound of claim 125 wherein R^{23} is:

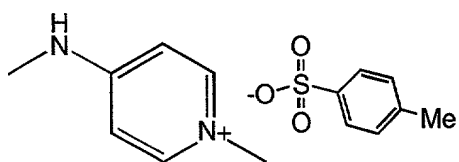
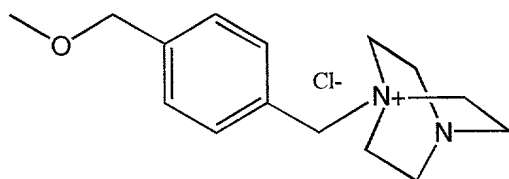
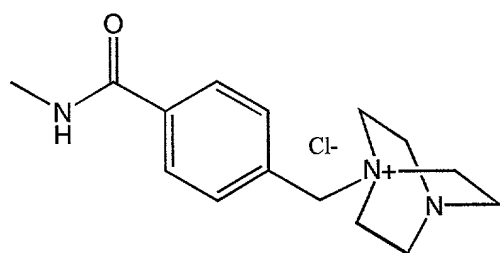


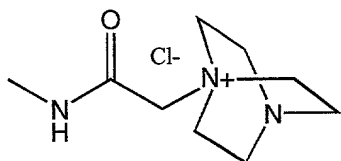
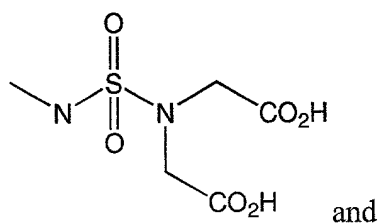
wherein R^{24} is as defined in Claim 125.

128. A compound of claim 125 wherein R^{24} is selected from the group consisting of:





COCCCNCC[N+]1=CC=C(O)C=C1S(=O)(=O)C



129. A compound of claim 122 wherein:

R^{2C} and R^{2D} are independently selected from ethyl and n-butyl;

R^{20} is chloro; and

R^{21} is selected from the group consisting of hydroxy and methoxy.

130. A compound of claim 122 wherein:

R^{2C} and R^{2D} are n-butyl;

R^{20} is chloro; and

R^{21} is selected from the group consisting of hydroxy and methoxy.

131. A compound of claim 122 wherein:

one of R^{2C} and R^{2D} is ethyl and the other of R^{2C} and R^{2D} is n-butyl;

R^{20} is chloro; and

R^{21} is selected from the group consisting of hydroxy and methoxy.

132. A compound of claim 122 wherein R^{2C} and R^{2D} are the same alkyl.

133. A compound of claim 122 wherein R^{2C} and R^{2D} are each n-butyl.

134. A compound of claim 122 wherein one of R^{2C} and R^{2D} is ethyl and the other of R^{2C} and R^{2D} is n-butyl.

135. A compound of claim 125 wherein:

R^{2C} and R^{2D} are independently selected from ethyl and n-butyl;

R^{20} is chloro; and

R^{21} is selected from the group consisting of hydroxy and methoxy.

136. A compound of claim 125 wherein:

R^{2C} and R^{2D} are n-butyl;

R^{20} is chloro; and

R^{21} is selected from the group consisting of hydroxy and methoxy.

137. A compound of claim 125 wherein:

one of R^{2C} and R^{2D} is ethyl and the other of R^{2C} and R^{2D} is n-butyl;

R^{20} is chloro; and

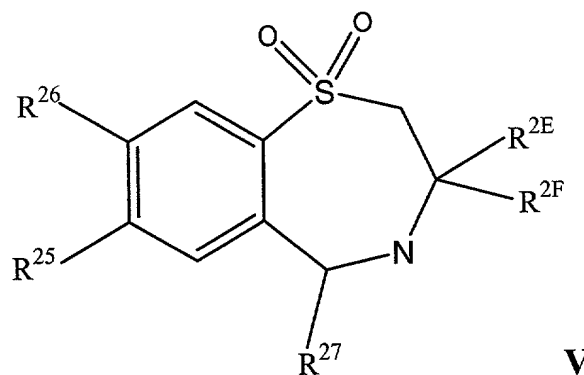
R^{21} is selected from the group consisting of hydroxy and methoxy.

138. A compound of claim 125 wherein R^{2C} and R^{2D} are the same alkyl.

139. A compound of claim 125 wherein R^{2C} and R^{2D} are each n-butyl.

140. A compound of claim 125 wherein one of R^{2C} and R^{2D} is ethyl and the other of R^{2C} and R^{2D} is n-butyl.

141. A compound of Formula V:



wherein:

R^{2E} and R^{2F} are independently selected from C_{1-6} alkyl; and

R^{25} and R^{26} are independently selected from the group consisting of hydrogen, alkoxy, and R^{28} ;

wherein R^{28} is aryl substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{28} aryl optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl;

quaternary heterocyclyl; $-\text{OR}^7$; $-\text{NR}^7\text{R}^8$; $-\text{SR}^7$; $-\text{S}(\text{O})\text{R}^7$; $-\text{SO}_2\text{R}^7$; $-\text{SO}_3\text{R}^7$; $-\text{CO}_2\text{R}^7$; $-\text{CONR}^7\text{R}^8$; $-\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$; $-\text{P}(\text{O})\text{R}^7\text{R}^8$; $-\text{PR}^7\text{R}^8$; $-\text{P}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$; and $-\text{P}(\text{O})(\text{OR}^7)\text{OR}^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^{28} aryl optionally may have one or more carbons replaced by $-\text{O}-$; $-\text{NR}^7-$; $-\text{N}^+\text{R}^7\text{R}^8\text{A}^-$; $-\text{S}-$; $-\text{SO}-$; $-\text{SO}_2-$; $-\text{S}^+\text{R}^7\text{A}^-$; $-\text{PR}^7-$; $-\text{P}(\text{O})\text{R}^7-$; $-\text{P}^+\text{R}^7\text{R}^8\text{A}^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^{W} are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; $-\text{CN}$; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-\text{OR}^9$; $-\text{NR}^9\text{R}^{10}$; $-\text{SR}^9$; $-\text{S}(\text{O})\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^9$; $-\text{CO}_2\text{R}^9$; and $-\text{CONR}^9\text{R}^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl;

or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

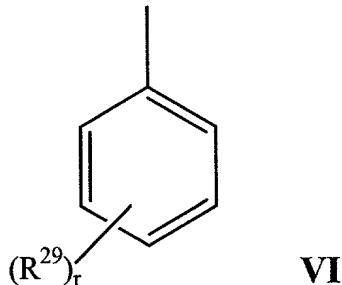
wherein A⁻ is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

R²⁷ is unsubstituted phenyl or R²⁸; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;

provided that at least one of R²⁵, R²⁶ and R²⁷ is R²⁸.

142. A compound of Claim 141 wherein R²⁸ is:



wherein

r is 0, 1, 2, 3 or 4; and

one or more R²⁹ are independently selected from the group consisting of halogen; -CN; -NO₂; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -

NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the R²⁹alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the R²⁹ alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl;

cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; $-CN$; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; $-OR^{16}$; $-NR^9R^{10}$; $-N^+R^9R^{10}R^wA^-$; $-SR^{16}$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^{16}$;

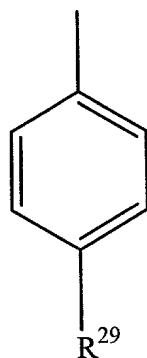
-CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A⁻ is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

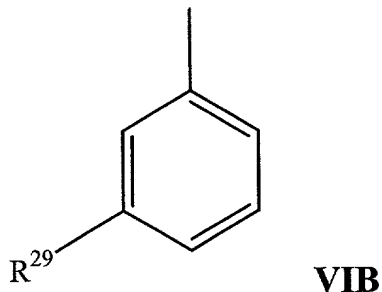
143. A compound of claim 142 wherein R²⁸ is:



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wherein R²⁹ is as defined in Claim 142.

144. A compound of claim 142 wherein R²⁸ is:



wherein R²⁹ is as defined in Claim 142.

145. A compound of claim 142 wherein:

R²⁹ is independently selected from the group consisting of -OR¹³, -NR¹³R¹⁴, -NR¹³C(O)R¹⁴, -OC(O)NR¹³R¹⁴, and -NR¹³SO₂R¹⁴, and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of alkyl, polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, alkylheterocyclalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or N⁺R⁹R¹⁰A⁻, and

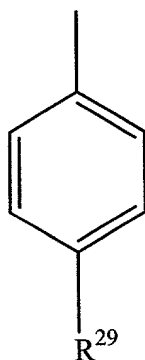
wherein R¹³, R¹⁴, and R¹⁵ are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, -SR⁹, -S(O)R⁹, -S(O)₂R⁹, -S(O)₃R⁹, -NR⁹R¹⁰, -N⁺R⁹R¹¹R¹²A⁻, -CONR⁹R¹⁰, and -PO(OR¹⁶)OR¹⁷, and

wherein R⁹ and R¹⁰ are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein R^{11} and R^{12} are independently alkyl; and

wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

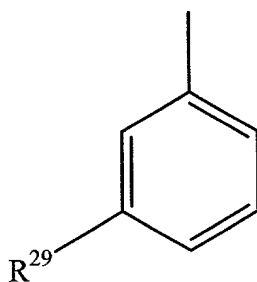
146. A compound of claim 145 wherein R^{28} is:



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wherein R^{29} is as defined in Claim 145.

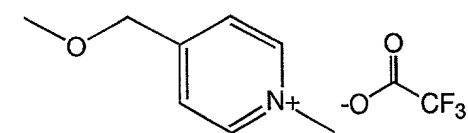
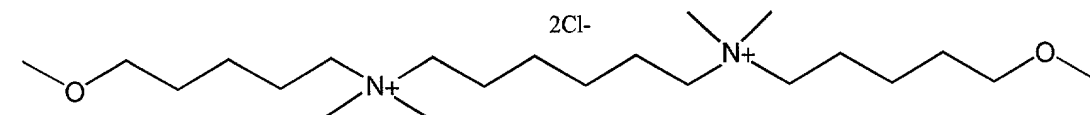
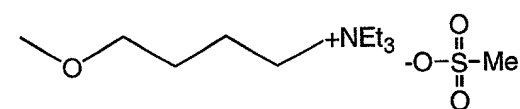
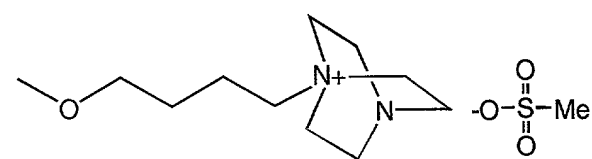
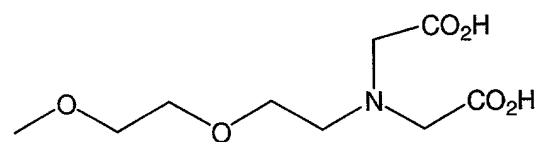
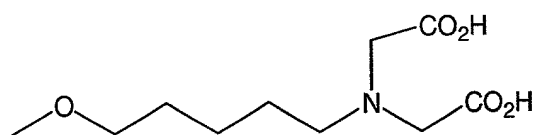
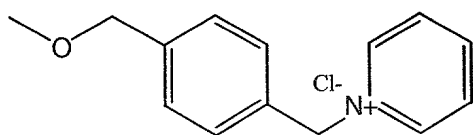
147. A compound of claim 145 wherein R^{28} is:

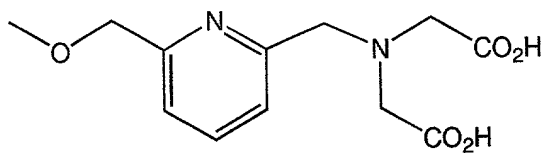
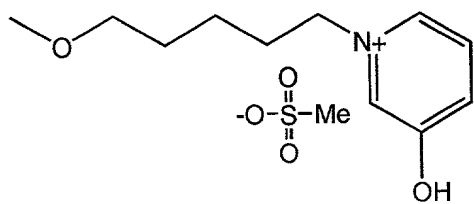
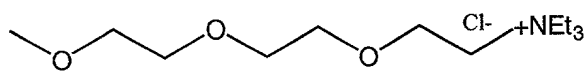
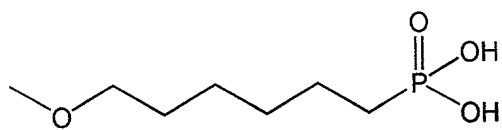
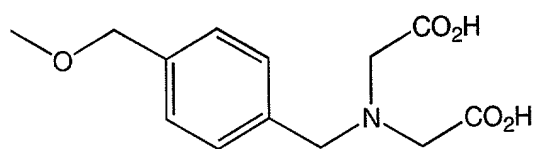
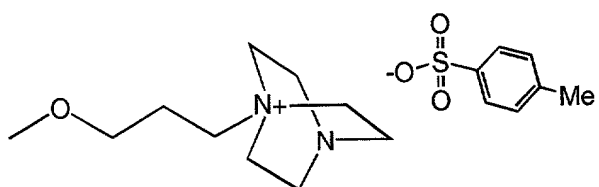


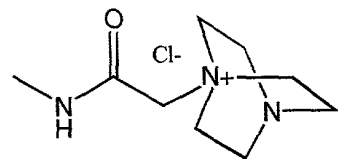
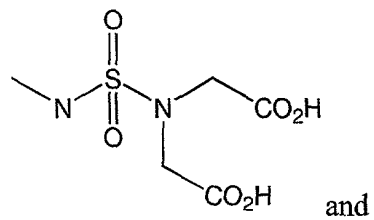
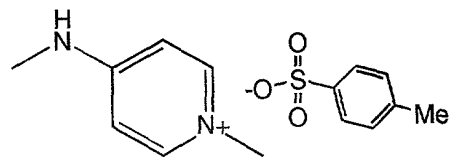
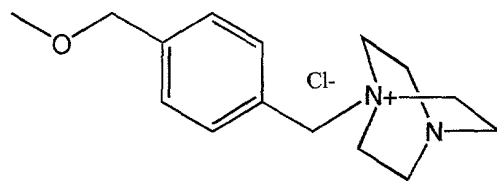
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wherein R^{29} is as defined in Claim 145.

148. A compound of claim 145 wherein R^{29} is selected from the group consisting of:







R^{2E} and R^{2F} are independently selected from ethyl and n-butyl; and

R^{25} and R^{26} are independently selected from hydrogen and methoxy.

150. A compound of claim 142 wherein:

R^{2E} and R^{2F} are n-butyl; and

R^{25} and R^{26} are independently selected from hydrogen and methoxy.

151. A compound of claim 142 wherein:

one of R^{2E} and R^{2F} is ethyl and the other of R^{2E} and R^{2F} is n-butyl; and

R^{25} and R^{26} are independently selected from hydrogen and methoxy.

152. A compound of claim 142 wherein R^{2E} and R^{2F} are the same alkyl.

153. A compound of claim 142 wherein R^{2E} and R^{2F} are each n-butyl.

154. A compound of claim 142 wherein one of R^{2E} and R^{2F} is ethyl and the other of R^{2E} and R^{2F} is n-butyl.

155. A compound of claim 145 wherein:

R^{2E} and R^{2F} are independently selected from ethyl and n-butyl; and

R^{25} and R^{26} are independently selected from hydrogen and methoxy.

156. A compound of claim 145 wherein:

R^{2E} and R^{2F} are n-butyl; and

R^{25} and R^{26} are independently selected from hydrogen and methoxy.

157. A compound of claim 145 wherein:

one of R^{2E} and R^{2F} is ethyl and the other of R^{2E} and R^{2F} is n-butyl; and

R^{25} and R^{26} are independently selected from hydrogen and methoxy.

158. A compound of claim 145 wherein R^{2E} and R^{2F} are the same alkyl.

159. A compound of claim 145 wherein R^{2E} and R^{2F} are each n-butyl.

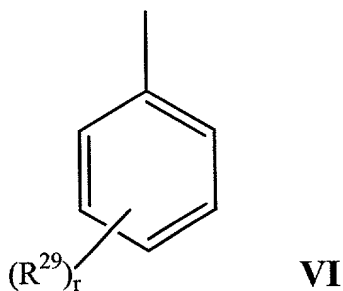
160. A compound of claim 145 wherein one of R^{2E} and R^{2F} is ethyl and the other of R^{2E} and R^{2F} is n-butyl.

161. A compound of claim 142 wherein:

one of R^{2E} and R^{2F} is ethyl and the other of R^{2E} and R^{2F} is n-butyl;

R^{25} and R^{26} are hydrogen; and

R^{27} is:



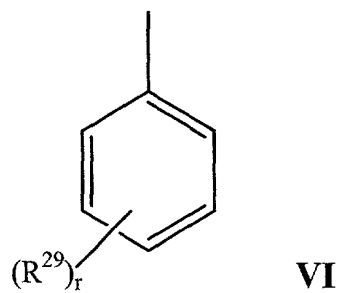
wherein r is 1 and R^{29} is as defined in claim 142.

162. A compound of claim 142 wherein:

one of R^{2E} and R^{2F} is ethyl and the other of R^{2E} and R^{2F} is n-butyl; and

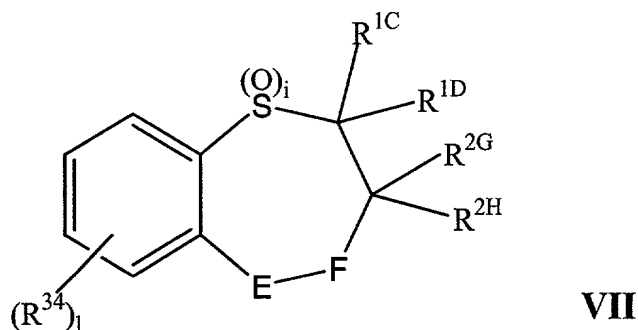
R^{25} and R^{26} are methoxy; and

R^{27} is:



wherein r is 1 and R^{29} is as defined in claim 142.

163. A compound of Formula VII:



wherein:

i is 0, 1 or 2; and

l is 0, 1, 2, 3 or 4; and

R^{1C} and R^{1D} are independently selected from hydrogen and alkyl; and

R^{2G} and R^{2H} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

R^{2G} and R^{2H} together with the carbon atom to which they are attached form a C₃₋₁₀ cycloalkyl group; and

one of E and F is NR³⁰ and the other of E and F is CHR³¹;

wherein R³⁰ and R³¹ are independently selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, -OR⁹, and R³²;

wherein the R³⁰ and R³¹ alkyl; cycloalkyl; aryl; heterocyclyl radicals are independently substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R³⁰ and R³¹ radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R³⁰ and R³¹ radicals optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; $-OR^{16}$; $-NR^9R^{10}$; $-N^+R^9R^{10}R^wA^-$; $-SR^{16}$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^{16}$; $-CO_2R^{16}$; $-CONR^9R^{10}$; $-SO_2NR^9R^{10}$; $-PO(OR^{16})OR^{17}$; $-P^9R^{10}$; $-P^+R^9R^{10}R^{11}A^-$; $-S^+R^9R^{10}A^-$; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; $-NR^9$ -; $-N^+R^9R^{10}A^-$; -S-; -SO-; $-SO_2$ -; $-S^+R^9A^-$; -PR

$^9-$; $-P^+R^9R^{10}A^-$; $-P(O)R^9-$; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation; and

R^{32} is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with $-N(H)-X-R^{33}$ or $-O-X-R^{33}$ and wherein:

X is selected from the group consisting of:

- $-(C=O)_s$ -alkyl-;
- $-(C=O)_s$ -alkyl-NH-;
- $-(C=O)_s$ -alkyl-O-;
- $-(C=O)_s$ -alkyl- $(C=O)_t$; and
- a covalent bond;

R^{33} is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups;

s and t are independently 0 or 1; and

one or more R^{34} radicals are independently selected from the group consisting of R^{32} , hydrogen; halogen; $-CN$; $-NO_2$; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-S(O)_2R^{13}$; $-SO_3R^{13}$; $-S^+R^{13}R^{14}A^-$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-NR^{14}C(O)R^{13}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-OR^{18}$; $-S(O)_nNR^{13}R^{14}$; $-NR^{13}R^{18}$; $-NR^{18}OR^{14}$; $-N^+R^{13}R^{14}R^{15}A^-$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

more radicals selected from the group consisting of halogen; -CN ; NO₂; oxo; -OR⁹; -NR⁹R¹⁰; -N⁺R⁹R¹¹R¹²A⁻; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; -CONR⁹R¹⁰; -SO₂OM; -SO₂NR⁹R¹⁰; -PR⁹R¹⁰; -P(OR¹³)OR¹⁴; -PO(OR¹⁶)OR¹⁷; and -C(O)OM; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;

provided that at least one of R³⁰, R³¹ and R³⁴ is R³².

164. A compound of Claim 163 wherein R³² is phenyl substituted with -N(H)-X-R³³ or -O-X-R³³ wherein:

X is selected from the group consisting of:

- (C=O)_s-alkyl-;
- (C=O)_s-alkyl-NH-;
- (C=O)_s-alkyl-O-;
- (C=O)_s-alkyl-(C=O)_t; and
- a covalent bond;

R₃₃ is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

s and t are independently 0 or 1.

165. A compound of Claim 164 wherein R³² is phenyl substituted at the para-position with -N(H)-X-R³³ or -O-X-R³³ wherein:

X is selected from the group consisting of:

- (C=O)_s-alkyl-;
- (C=O)_s-alkyl-NH-;
- (C=O)_s-alkyl-O-;
- (C=O)_s-alkyl-(C=O)_t; and
- a covalent bond; and

R₃₃ is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

s and t are independently 0 or 1.

166. A compound of Claim 164 wherein R^{32} is phenyl substituted at the meta-position with $-N(H)-X-R^{33}$ or $-O-X-R^{33}$ wherein:

X is selected from the group consisting of:

- $-(C=O)_s\text{-alkyl-}$;
- $-(C=O)_s\text{-alkyl-NH-}$;
- $-(C=O)_s\text{-alkyl-O-}$;
- $-(C=O)_s\text{-alkyl-(C=O)}_t$; and
- a covalent bond; and

R^{33} is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

s and t are independently 0 or 1;

167. A compound of claim 164 wherein:

R^{30} is R^{32} ; and

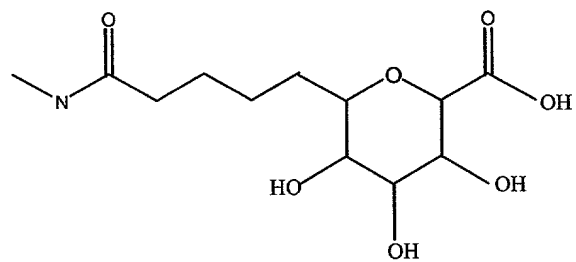
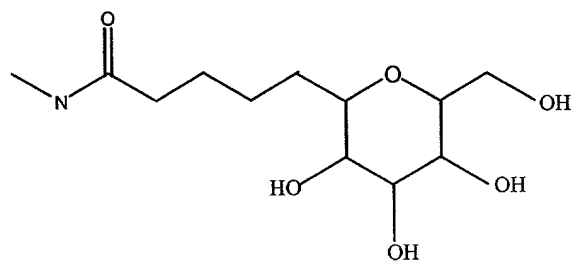
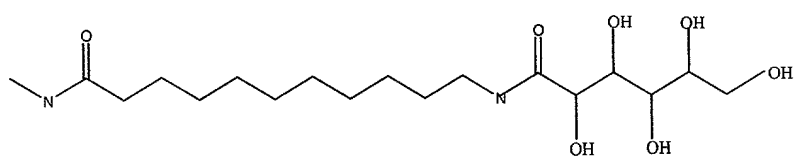
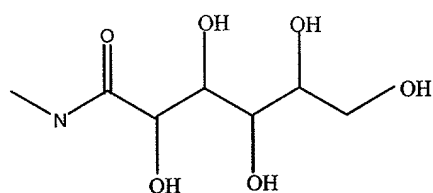
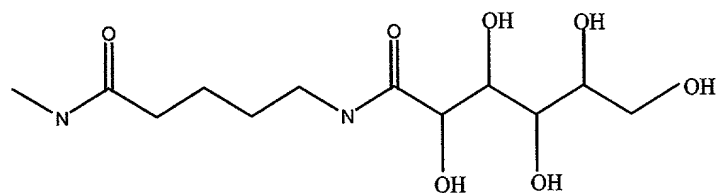
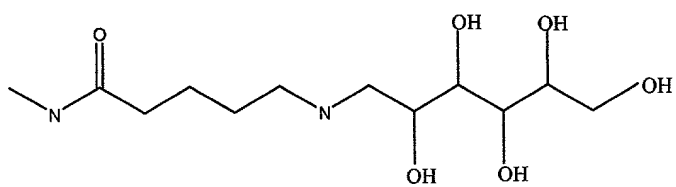
R^{31} is selected from the group consisting of hydrogen and alkyl.

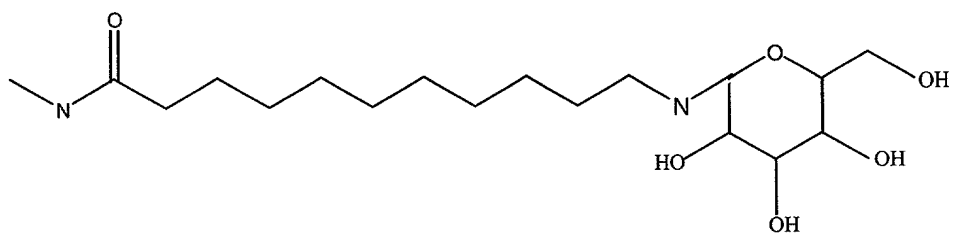
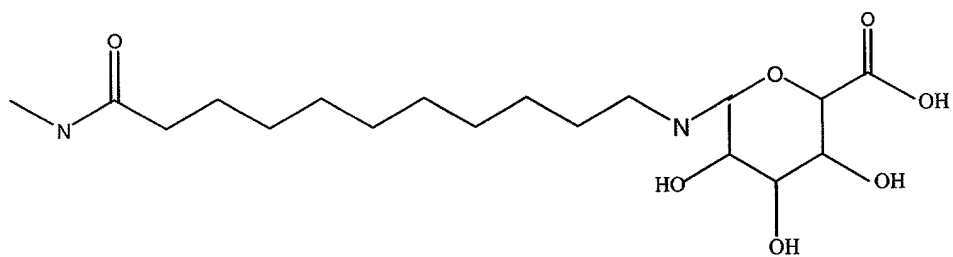
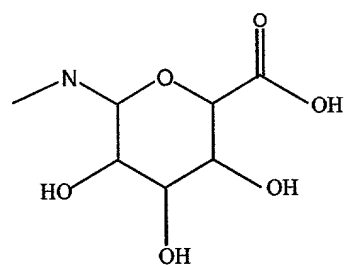
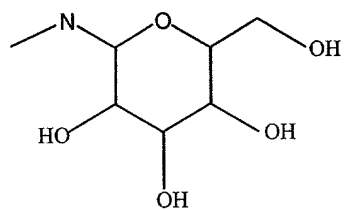
168. A compound of claim 165 wherein:

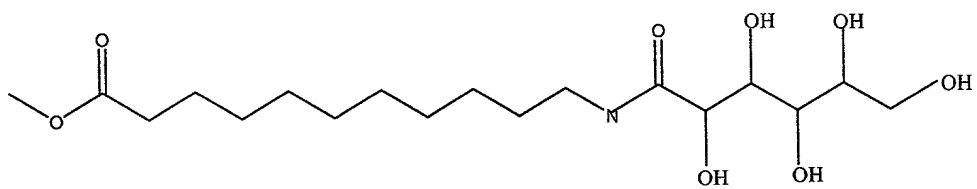
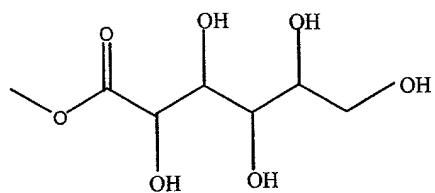
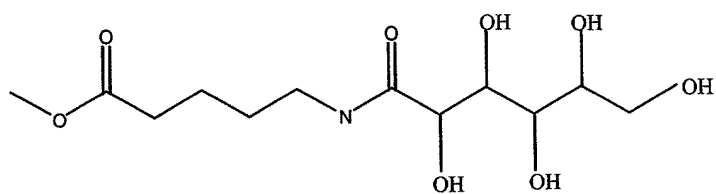
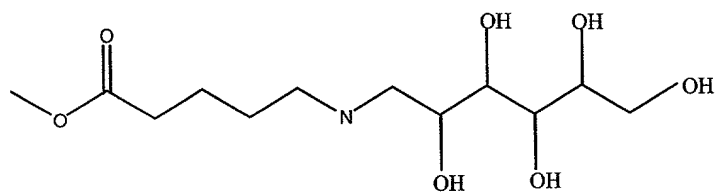
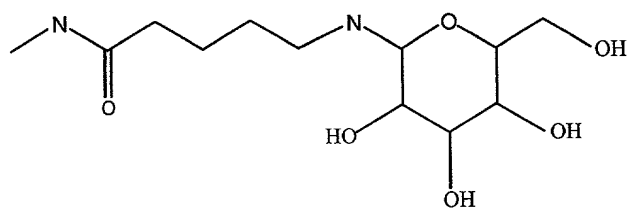
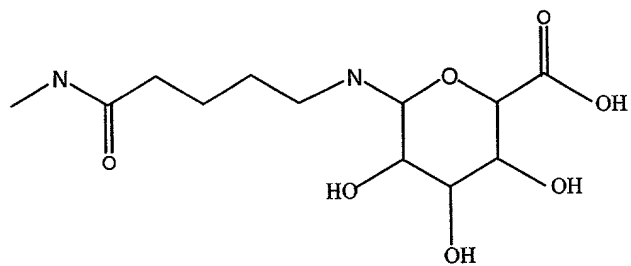
R^{30} is selected from the group consisting of hydrogen and alkyl; and

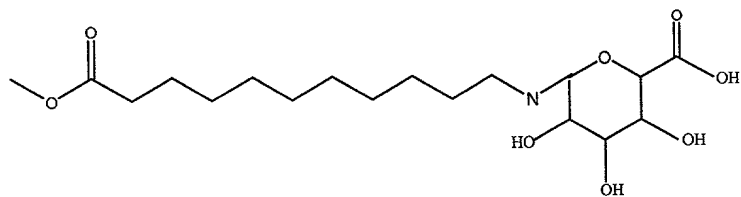
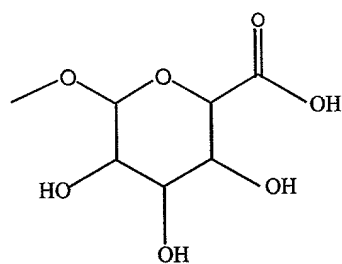
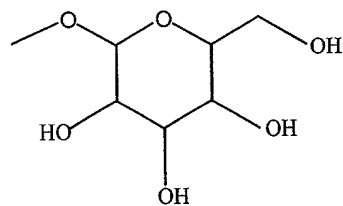
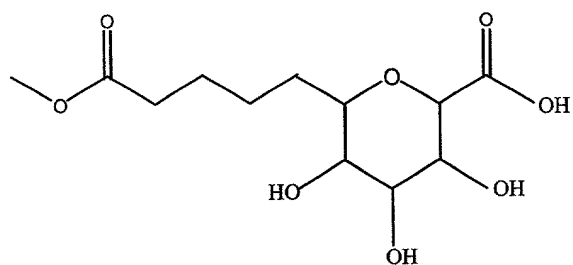
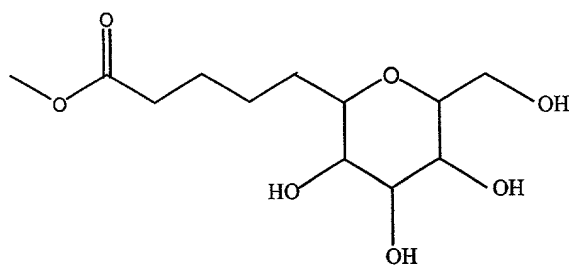
R^{31} is R^{32} .

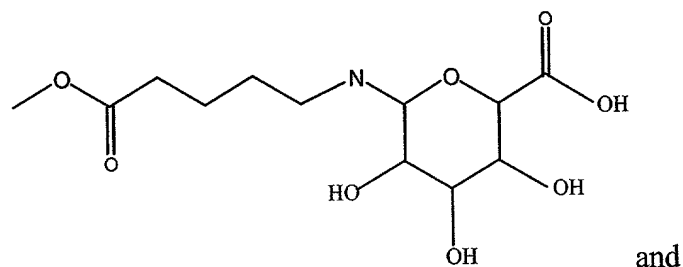
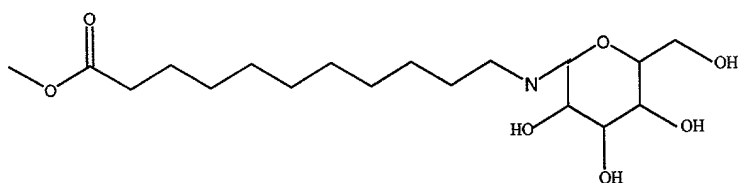
169. A compound of claim 164 wherein R^{32} is phenyl substituted with a radical selected from the group consisting of:



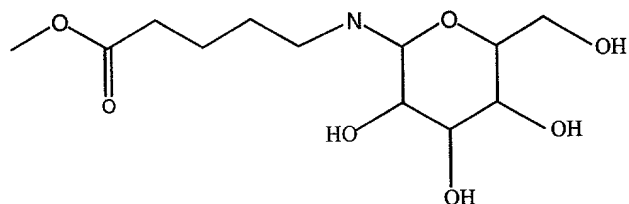








and



170. A compound of claim 164 wherein:

i is 2;

R^{1C} and R^{1D} are independently selected from hydrogen and alkyl; and

R^{2G} and R^{2H} are independently selected from hydrogen and alkyl.

171. A compound of claim 164 wherein:

i is 2;

R^{1C} and R^{1D} are hydrogen; and

R^{2G} and R^{2H} are independently selected from alkyl.

172. A compound of claim 164 wherein:

i is 2;

R^{1C} and R^{1D} are hydrogen; and

R^{2G} and R^{2H} are independently selected from ethyl, propyl and butyl.

173. A compound of claim 164 wherein i is 1 or 2.

174. A compound of claim 164 wherein i is 2.

175. A compound of claim 164 wherein R^{1C} and R^{1D} are hydrogen.

176. A compound of claim 164 wherein R^{2G} and R^{2H} are independently selected from the group consisting of hydrogen and C_{1-6} alkyl.

177. A compound of claim 164 wherein R^{2G} and R^{2H} are independently selected from the group consisting C_{1-6} alkyl.

178. A compound of claim 164 wherein R^{2G} and R^{2H} are the same alkyl.

179. A compound of claim 164 wherein R^{2G} and R^{2H} are each n-butyl.

180. A compound of claim 164 wherein one of R^{2G} and R^{2H} is ethyl and the other of R^{2G} and R^{2H} is n-butyl.

181. A compound of claim 164 wherein one or more R^{34} are independently selected from methoxy and dimethylamino.

182. A compound of claim 164 wherein
i is 1 or 2;

R^{1C} and R^{1D} are hydrogen;

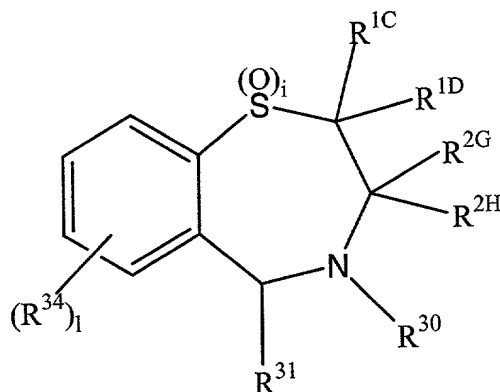
R^{2G} and R^{2H} are n-butyl; and

one or more R^{34} are independently selected from methoxy and dimethylamino.

183. A compound of claim 164 wherein
i is 1 or 2;

R^{1C} and R^{1D} are hydrogen;
 one of R^{2G} and R^{2H} is ethyl and the other of R^{2G} and R^{2H} is n-butyl; and
 one or more R^{34} are independently selected from methoxy and dimethylamino.

184. A compound of claim 163 corresponding to Formula VIIA:



VIIA

wherein:

i is 0, 1 or 2; and

l is 0, 1, 2, 3 or 4; and

R^{1C} and R^{1D} are independently selected from hydrogen and alkyl; and

R^{2G} and R^{2H} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

R^{2G} and R^{2H} together with the carbon atom to which they are attached form a C_{3-7} cycloalkyl group; and

R^{30} and R^{31} are independently selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, $-OR^9$, and R^{32} ;

wherein the R^{30} and R^{31} alkyl; cycloalkyl; aryl; heterocyclyl radicals are independently substituted with one or more radicals independently selected from the group consisting of halogen; $-CN$; $-NO_2$; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; -

NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -
NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -
P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R³⁰ and R³¹ radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R³⁰ and R³¹ radicals optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl;

carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation; and

R³² is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with -N(H)-X-R³³ or -O-X-R³³ and wherein:

X is selected from the group consisting of:

- (C=O)_s-alkyl-;
- (C=O)_s-alkyl-NH-;
- (C=O)_s-alkyl-O-;
- (C=O)_s-alkyl-(C=O)_t; and
- a covalent bond; and

R₃₃ is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

s and t are independently 0 or 1; and

one or more R³⁴ radicals are independently selected from the group consisting of R³², hydrogen; halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -OR¹⁸; -S(O)_nNR¹³R¹⁴; -NR¹³R¹⁸; -NR¹⁸OR¹⁴; -N⁺R¹³R¹⁴R¹⁵A⁻; -PR¹³R¹⁴; -P(O)R¹³

R^{14} , $-P^+R^{13}R^{14}R^{15}A^-$; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R^{34} alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; -CN; oxo; $-OR^{16}$; $-NR^9R^{10}$; $-N^+R^9R^{10}R^wA^-$; $-SR^{16}$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^{16}$; $-CO_2R^{16}$; $-CONR^9R^{10}$; $-SO_2NR^9R^{10}$; $-PO(OR^{16})OR^{17}$; $-P^9R^{10}$; $-P^+R^9R^{11}R^{12}A^-$; $-S^+R^9R^{10}A^-$; and carbohydrate residue; and

wherein the R^{34} quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; $-NO_2$; oxo; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; OM; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-P(O)R^{13}R^{14}$; $-P^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; $-N^+R^{13}R^{14}R^{15}A^-$; and carbohydrate residue; and

wherein the R^{34} radicals comprising carbon optionally may have one or more carbons replaced by -O-; $-NR^{13}$ -; $-N^+R^{13}R^{14}A^-$; -S-; -SO-; $-SO_2$ -; $-S^+R^{13}A^-$; $-PR^{13}$ -; $-P(O)R^{13}$ -; $-PR^{13}R^{14}$; $-P^+R^{13}R^{14}A^-$; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by -O-; $-NR^9$ -; $-N^+R^9R^{10}A^-$; -S-; -SO-; $-SO_2$ -; $-S^+R^9A^-$; $-PR^9$ -; $-P^+R^9R^{10}A^-$; or $-P(O)R^9$ -; and

wherein R^{18} is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclylalkoxycarbonyl; and

wherein the R¹⁸ alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; NO₂; oxo; -OR⁹; -NR⁹R¹⁰; -N⁺R⁹R¹¹R¹²A⁻; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; -CONR⁹R¹⁰; -SO₂OM; -SO₂NR⁹R¹⁰; -PR⁹R¹⁰; -P(OR¹³)OR¹⁴; -PO(OR¹⁶)OR¹⁷; and -C(O)OM; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;

provided that at least one of R³⁰, R³¹ and R³⁴ is R³².

185. A compound of Claim 184 wherein R³² is phenyl substituted with -N(H)-X-R³³ or -O-X-R³³ wherein:

X is selected from the group consisting of:

- (C=O)_s-alkyl-;
- (C=O)_s-alkyl-NH-;
- (C=O)_s-alkyl-O-;
- (C=O)_s-alkyl-(C=O)_t; and
- a covalent bond; and

R₃₃ is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

s and t are independently 0 or 1.

186. A compound of Claim 185 wherein R³² is phenyl substituted at the para-position with -N(H)-X-R³³ or -O-X-R³³ wherein:

X is selected from the group consisting of:

- (C=O)_s-alkyl-;
- (C=O)_s-alkyl-NH-;
- (C=O)_s-alkyl-O-;
- (C=O)_s-alkyl-(C=O)_t; and
- a covalent bond; and

R₃₃ is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and
s and t are independently 0 or 1.

187. A compound of Claim 185 wherein R³² is phenyl substituted at the meta-position with -N(H)-X-R³³ or -O-X-R³³ wherein:

X is selected from the group consisting of:

-(C=O)_s-alkyl-;
-(C=O)_s-alkyl-NH-;
-(C=O)_s-alkyl-O-;
-(C=O)_s-alkyl-(C=O)_t; and
a covalent bond; and

R₃₃ is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and
s and t are independently 0 or 1.

188. A compound of claim 185 wherein:

R³⁰ is R³²; and

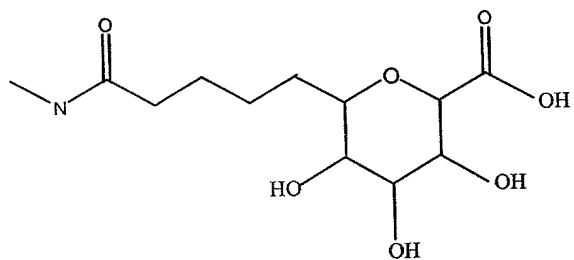
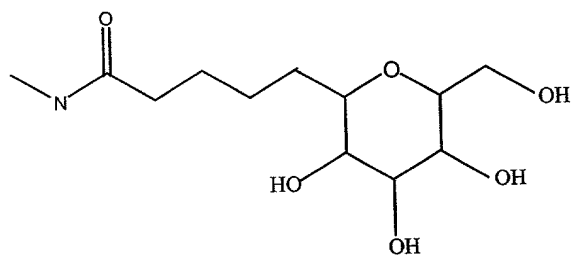
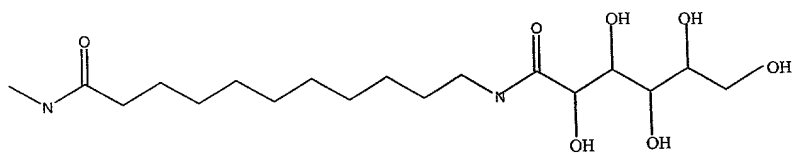
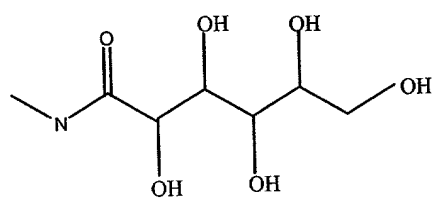
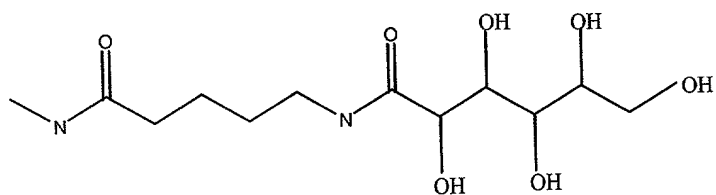
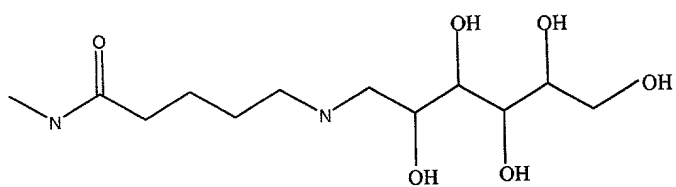
R³¹ is selected from the group consisting of hydrogen and alkyl.

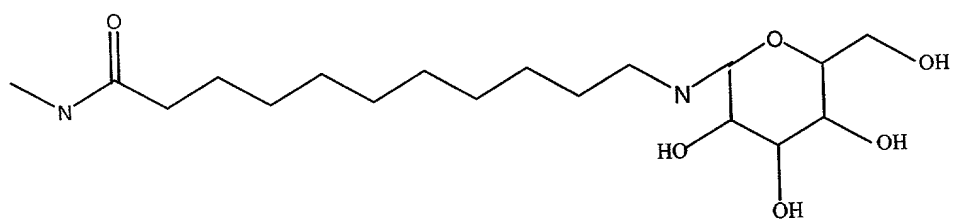
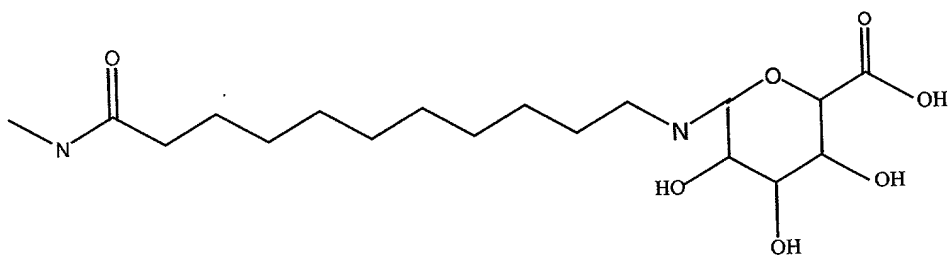
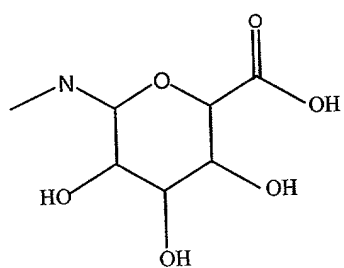
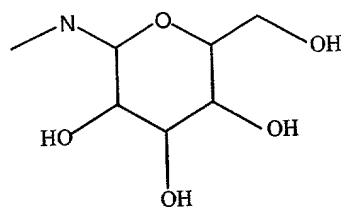
189. A compound of claim 185 wherein:

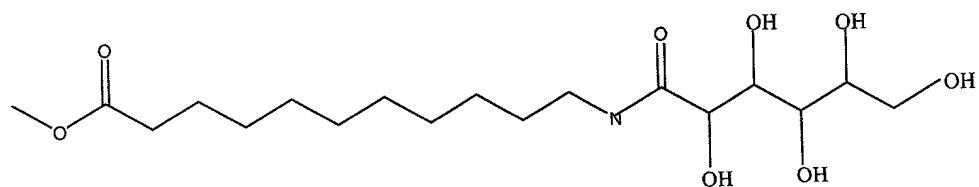
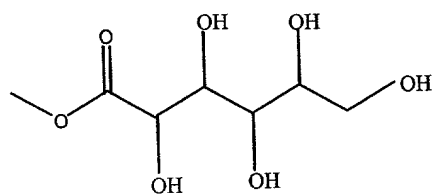
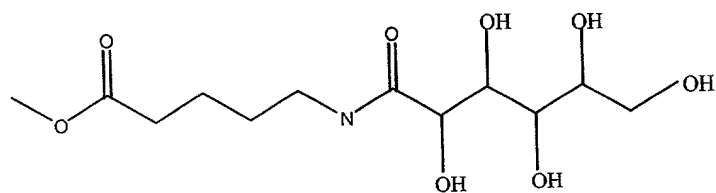
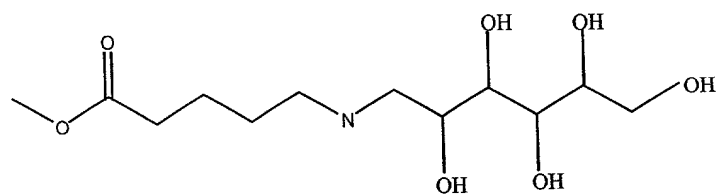
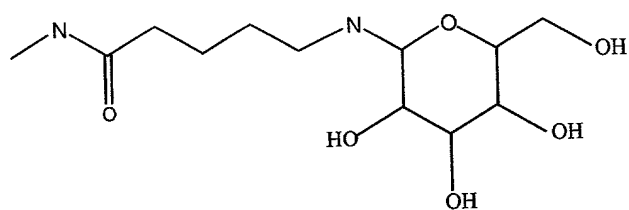
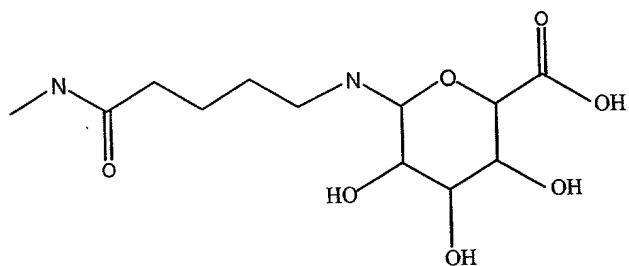
R³⁰ is selected from the group consisting of hydrogen and alkyl; and

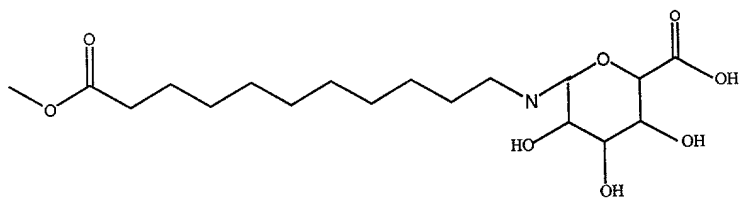
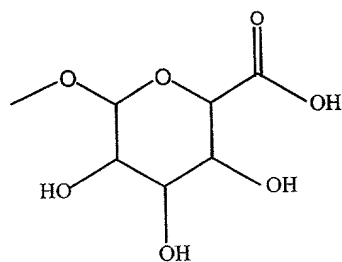
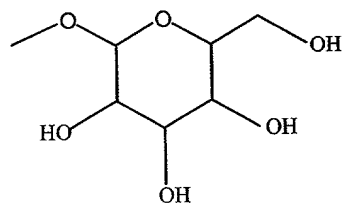
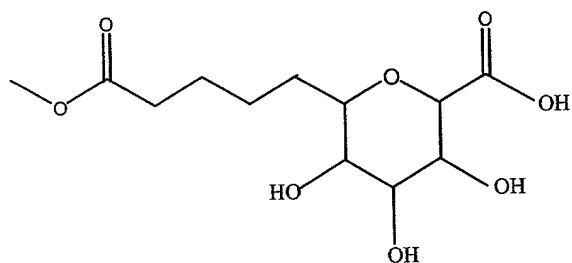
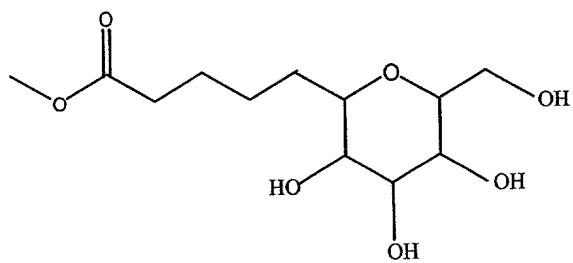
R³¹ is R³².

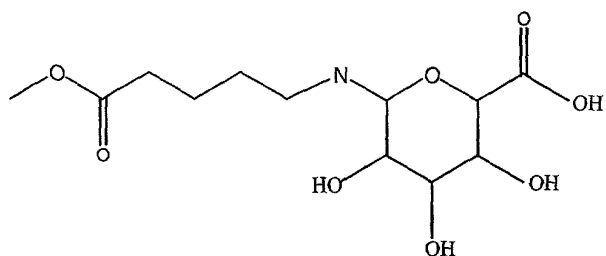
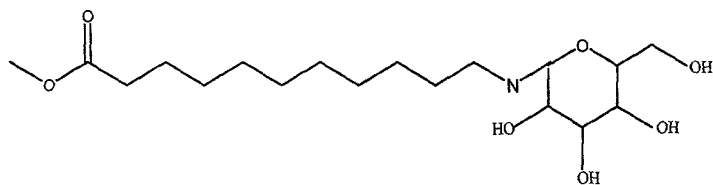
190. A compound of claim 185 wherein R³² is phenyl substituted with a radical selected from the group consisting of:



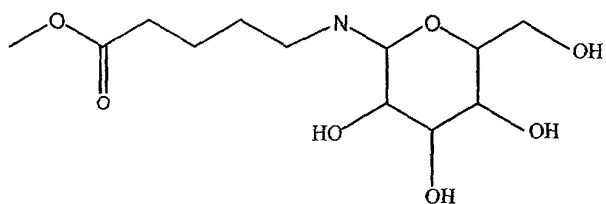








and



191. A compound of claim 185 wherein:

i is 2;

R^{1C} and R^{1D} are independently selected from hydrogen and alkyl; and

R^{2G} and R^{2H} are independently selected from hydrogen and alkyl.

192. A compound of claim 185 wherein:

i is 2;

R^{1C} and R^{1D} are hydrogen; and

R^{2G} and R^{2H} are independently selected from alkyl.

193. A compound of claim 185 wherein:

i is 2;

R^{1C} and R^{1D} are hydrogen; and

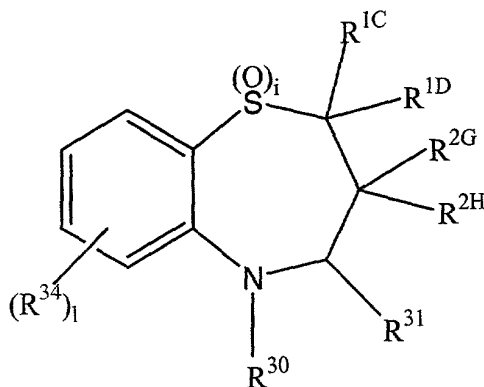
R^{2G} and R^{2H} are independently selected from ethyl, propyl and butyl.

R^{1C} and R^{1D} are hydrogen;

one of R^{2G} and R^{2H} is ethyl and the other of R^{2G} and R^{2H} is n-butyl; and

one or more R^{34} are independently selected from methoxy and dimethylamino.

205. A compound of claim 163 corresponding to Formula VIIB:



VIIB

wherein:

i is 0, 1 or 2; and

l is 0, 1, 2, 3 or 4; and

R^{1C} and R^{1D} are independently selected from hydrogen and alkyl; and

R^{2G} and R^{2H} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

R^{2G} and R^{2H} together with the carbon atom to which they are attached form a C_{3-7} cycloalkyl group; and

R^{30} and R^{31} are independently selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, $-OR^9$, and R^{32} ;

wherein the R^{30} and R^{31} alkyl; cycloalkyl; aryl; heterocyclyl radicals are independently substituted with one or more radicals independently selected from the group consisting of halogen; $-CN$; $-NO_2$; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; -

$\text{NR}^{13}\text{CO}_2\text{R}^{14}$; $-\text{OC}(\text{O})\text{R}^{13}$; $-\text{OC}(\text{O})\text{NR}^{13}\text{R}^{14}$; $-\text{NR}^{13}\text{SOR}^{14}$; $-\text{NR}^{13}\text{SO}_2\text{R}^{14}$; $-\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$; $-\text{PR}^{13}\text{R}^{14}$; $-\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$; $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; $-\text{P}(\text{OR}^{13})\text{OR}^{14}$; $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; and $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{30} and R^{31} radicals optionally may be further substituted with one or more radicals selected from the group consisting of $-\text{CN}$; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-\text{OR}^7$; $-\text{NR}^7\text{R}^8$; $-\text{SR}^7$; $-\text{S}(\text{O})\text{R}^7$; $-\text{SO}_2\text{R}^7$; $-\text{SO}_3\text{R}^7$; $-\text{CO}_2\text{R}^7$; $-\text{CONR}^7\text{R}^8$; $-\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$; $-\text{P}(\text{O})\text{R}^7\text{R}^8$; $-\text{PR}^7\text{R}^8$; $-\text{P}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$; and $-\text{P}(\text{O})(\text{OR}^7)\text{OR}^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{30} and R^{31} radicals optionally may have one or more carbons replaced by $-\text{O}-$; $-\text{NR}^7-$; $-\text{N}^+\text{R}^7\text{R}^8\text{A}^-$; $-\text{S}-$; $-\text{SO}-$; $-\text{SO}_2-$; $-\text{S}^+\text{R}^7\text{A}^-$; $-\text{PR}^7-$; $-\text{P}(\text{O})\text{R}^7-$; $-\text{P}^+\text{R}^7\text{R}^8\text{A}^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; $-\text{CN}$; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-\text{OR}^9$; $-\text{NR}^9\text{R}^{10}$; $-\text{SR}^9$; $-\text{S}(\text{O})\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^9$; $-\text{CO}_2\text{R}^9$; and $-\text{CONR}^9\text{R}^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl;

carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation; and

R³² is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with -N(H)-X-R³³ or -O-X-R³³ and wherein:

X is selected from the group consisting of:

- (C=O)_s-alkyl-;
- (C=O)_s-alkyl-NH-;
- (C=O)_s-alkyl-O-;
- (C=O)_s-alkyl-(C=O)_t; and
- a covalent bond; and

R₃₃ is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

s and t are independently 0 or 1; and

one or more R³⁴ radicals are independently selected from the group consisting of R³², hydrogen; halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -OR¹⁸; -S(O)_nNR¹³R¹⁴; -NR¹³R¹⁸; -NR¹⁸OR¹⁴; -N⁺R¹³R¹⁴R¹⁵A⁻; -PR¹³R¹⁴; -P(O)R¹³

R^{14} ; $-P^+R^{13}R^{14}R^{15}A^-$; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R^{34} alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; $-CN$; oxo; $-OR^{16}$; $-NR^9R^{10}$; $-N^+R^9R^{10}R^wA^-$; $-SR^{16}$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^{16}$; $-CO_2R^{16}$; $-CONR^9R^{10}$; $-SO_2NR^9R^{10}$; $-PO(OR^{16})OR^{17}$; $-P^9R^{10}$; $-P^+R^9R^{11}R^{12}A^-$; $-S^+R^9R^{10}A^-$; and carbohydrate residue; and

wherein the R^{34} quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; $-CN$; $-NO_2$; oxo; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; OM; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-P(O)R^{13}R^{14}$; $-P^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; $-N^+R^{13}R^{14}R^{15}A^-$; and carbohydrate residue; and

wherein the R^{34} radicals comprising carbon optionally may have one or more carbons replaced by $-O-$; $-NR^{13}-$; $-N^+R^{13}R^{14}A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^{13}A^-$; $-PR^{13}-$; $-P(O)R^{13}-$; $-PR^{13}R^{14}$; $-P^+R^{13}R^{14}A^-$; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by $-O-$; $-NR^9-$; $-N^+R^9R^{10}A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^9A^-$; $-PR^9-$; $-P^+R^9R^{10}A^-$; or $-P(O)R^9-$; and

wherein R^{18} is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

wherein the R¹⁸ alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; NO₂; oxo; -OR⁹; -NR⁹R¹⁰; -N⁺R⁹R¹¹R¹²A⁻; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; -CONR⁹R¹⁰; -SO₂OM; -SO₂NR⁹R¹⁰; -PR⁹R¹⁰; -P(OR¹³)OR¹⁴; -PO(OR¹⁶)OR¹⁷; and -C(O)OM; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;
provided that at least one of R³⁰, R³¹ and R³⁴ is R³².

206. A compound of Claim 205 wherein R³² is phenyl substituted with -N(H)-X-R³³ or -O-X-R³³ wherein:

X is selected from the group consisting of:

- (C=O)_s-alkyl-;
- (C=O)_s-alkyl-NH-;
- (C=O)_s-alkyl-O-;
- (C=O)_s-alkyl-(C=O)_t; and
- a covalent bond; and

R₃₃ is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

s and t are independently 0 or 1.

207. A compound of Claim 206 wherein R³² is phenyl substituted at the para-position with -N(H)-X-R³³ or -O-X-R³³ wherein:

X is selected from the group consisting of:

- (C=O)_s-alkyl-;
- (C=O)_s-alkyl-NH-;
- (C=O)_s-alkyl-O-;
- (C=O)_s-alkyl-(C=O)_t; and
- a covalent bond; and

R^{33} is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and
s and t are independently 0 or 1.

208. A compound of Claim 206 wherein R^{32} is phenyl substituted at the meta-position with $-N(H)-X-R^{33}$ or $-O-X-R^{33}$ wherein:

X is selected from the group consisting of:

- $-(C=O)_s$ -alkyl-;
- $-(C=O)_s$ -alkyl-NH-;
- $-(C=O)_s$ -alkyl-O-;
- $-(C=O)_s$ -alkyl- $-(C=O)_t$; and
- a covalent bond; and

R_{33} is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and
s and t are independently 0 or 1.

209. A compound of claim 206 wherein:

R^{30} is R^{32} ; and

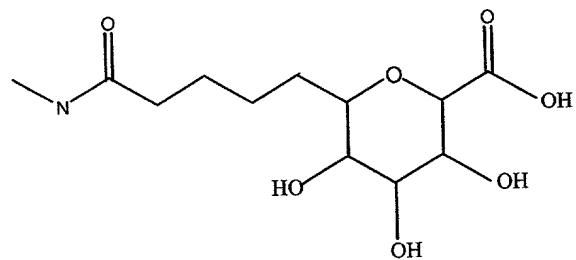
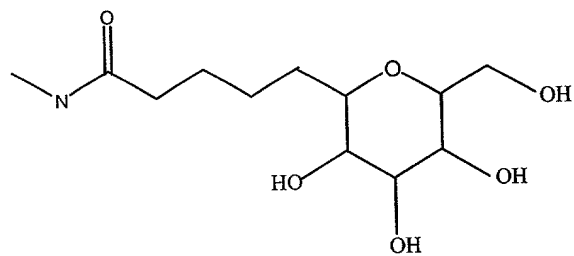
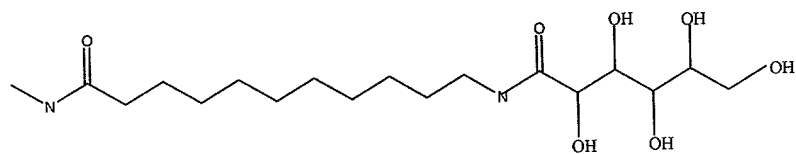
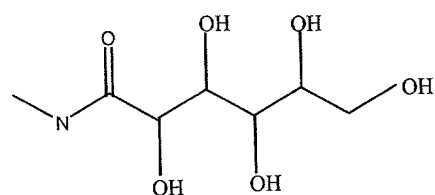
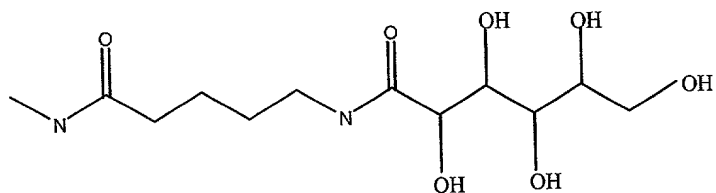
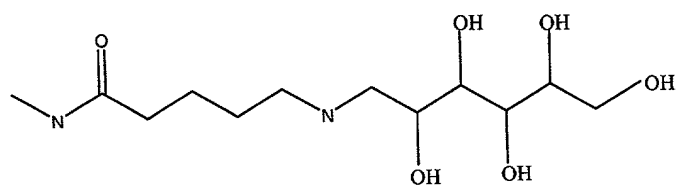
R^{31} is selected from the group consisting of hydrogen and alkyl.

210. A compound of claim 206 wherein:

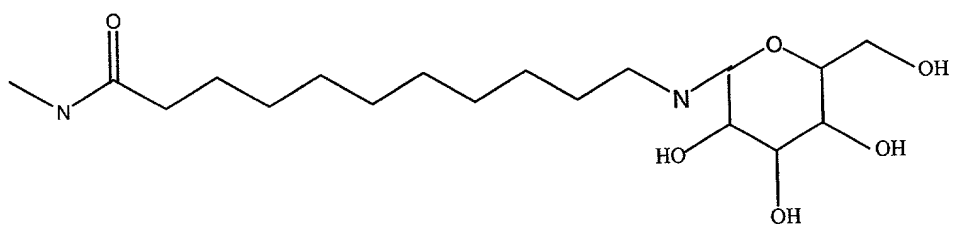
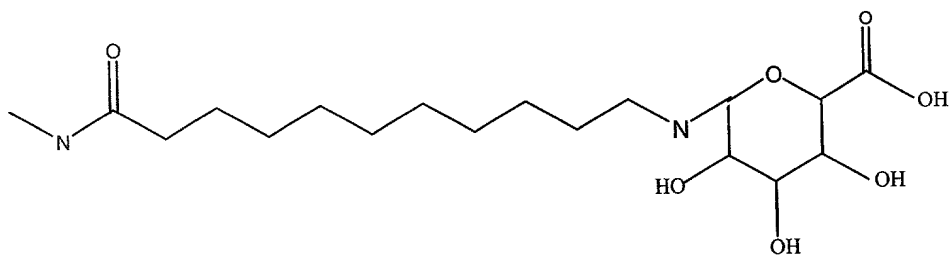
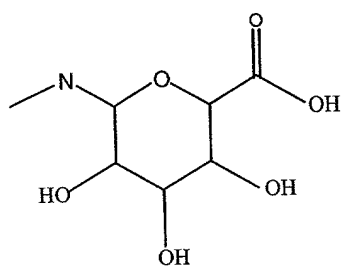
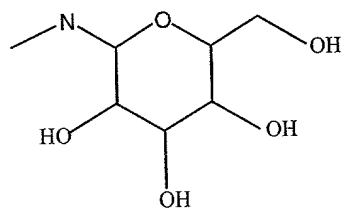
R^{30} is selected from the group consisting of hydrogen and alkyl; and

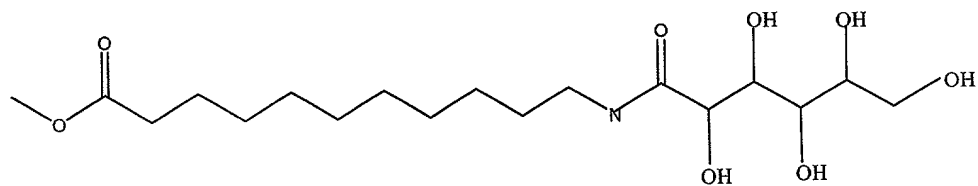
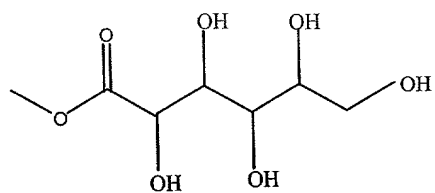
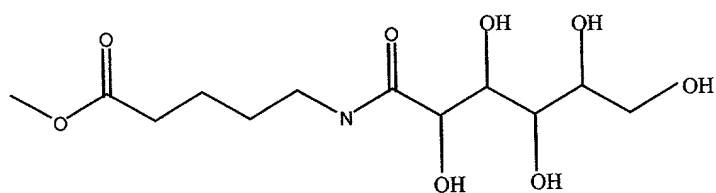
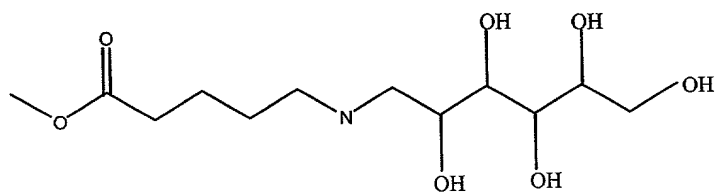
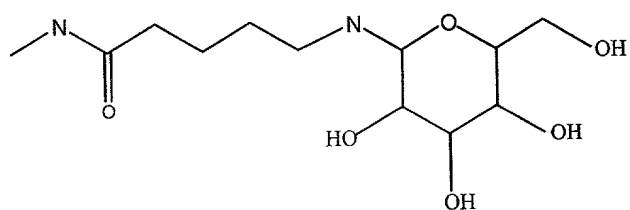
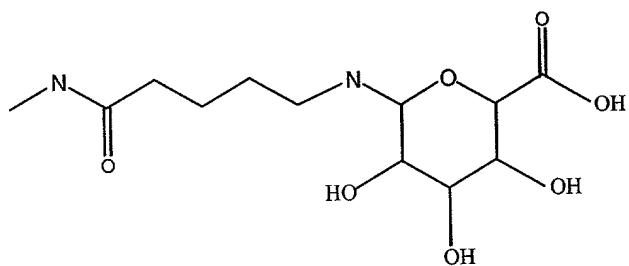
R^{31} is R^{32} .

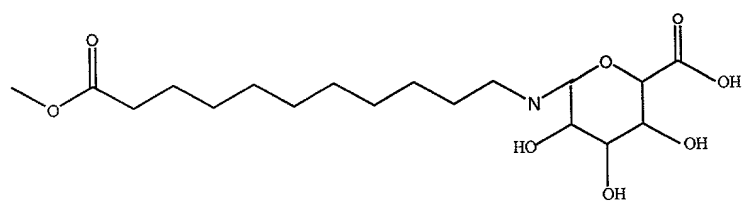
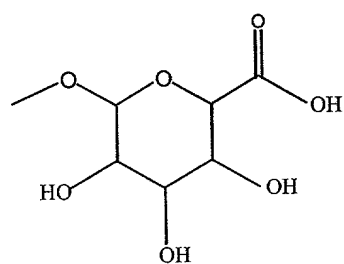
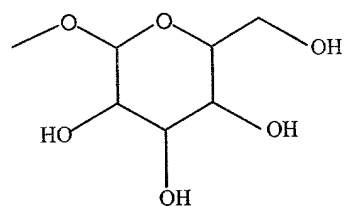
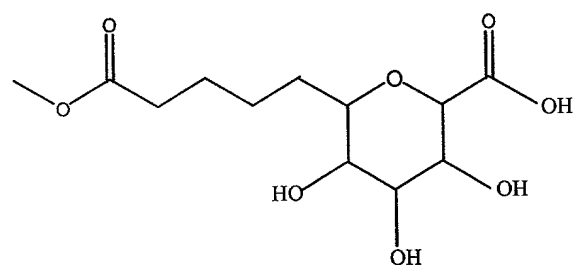
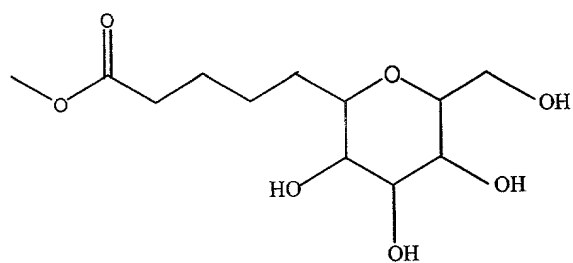
211. A compound of claim 206 wherein R^{32} is phenyl substituted with a radical selected from the group consisting of:

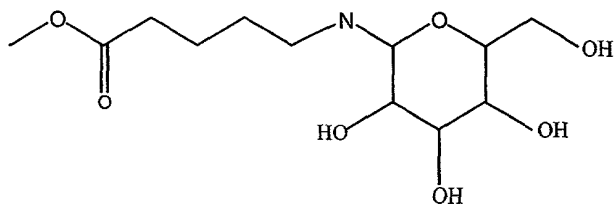
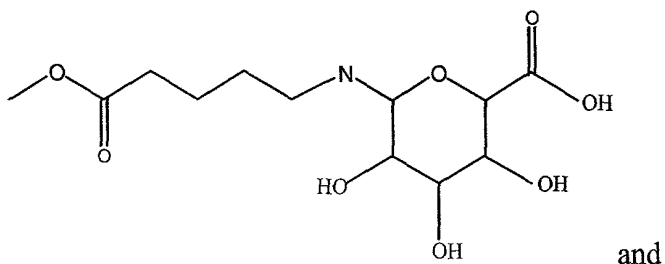
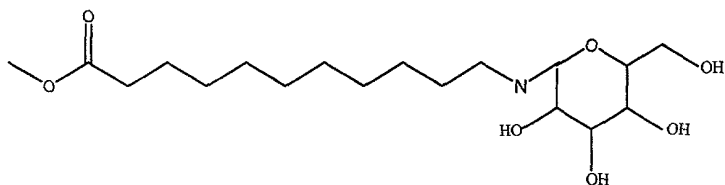


Chemical structure of 2,3,4,6-tetra-O-methyl-2-deoxy-D-glucopyranose









212. A compound of claim 206 wherein:

i is 2;

R^{1C} and R^{1D} are independently selected from hydrogen and alkyl; and

R^{2G} and R^{2H} are independently selected from hydrogen and alkyl.

213. A compound of claim 206 wherein:

i is 2;

R^{1C} and R^{1D} are hydrogen; and

R^{2G} and R^{2H} are independently selected from alkyl.

214. A compound of claim 206 wherein:

i is 2;

R^{1C} and R^{1D} are hydrogen; and

R^{2G} and R^{2H} are independently selected from ethyl, propyl and butyl.

215. A compound of claim 206 wherein i is 1 or 2.

216. A compound of claim 206 wherein i is 2.

217. A compound of claim 206 wherein R^{1C} and R^{1D} are hydrogen.

218. A compound of claim 206 wherein R^{2G} and R^{2H} are independently selected from the group consisting of hydrogen and C_{1-6} alkyl.

219. A compound of claim 206 wherein R^{2G} and R^{2H} are independently selected from the group consisting C_{1-6} alkyl.

220. A compound of claim 206 wherein R^{2G} and R^{2H} are the same alkyl.

221. A compound of claim 206 wherein R^{2G} and R^{2H} are each n-butyl.

222. A compound of claim 206 wherein one of R^{2G} and R^{2H} is ethyl and the other of R^{2G} and R^{2H} is n-butyl.

223. A compound of claim 206 wherein one or more R^{34} are independently selected from methoxy and dimethylamino.

224. A compound of claim 206 wherein

i is 1 or 2;

R^{1C} and R^{1D} are hydrogen;

R^{2G} and R^{2H} are n-butyl; and

one or more R^{34} are independently selected from methoxy and dimethylamino.

225. A compound of claim 206 wherein

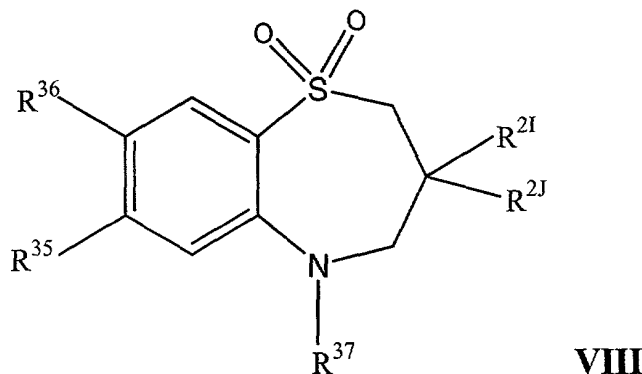
i is 1 or 2;

R^{1C} and R^{1D} are hydrogen;

one of R^{2G} and R^{2H} is ethyl and the other of R^{2G} and R^{2H} is n-butyl; and

one or more R^{34} are independently selected from methoxy and dimethylamino.

226. A compound of Formula VIII:



wherein:

R^{2I} and R^{2J} are independently selected from C_{1-6} alkyl; and

R^{35} is selected from the group consisting of halogen and R^{38} ;

R^{36} is selected from the group consisting of hydroxy, alkoxy, and R^{38} ;

wherein R^{38} is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with $-N(H)-X-R^{39}$ or $-O-X-R^{39}$ and wherein:

X is selected from the group consisting of:

$-(C=O)_u$ -alkyl-;

$-(C=O)_u$ -alkyl-NH-;

$-(C=O)_u$ -alkyl-O-;

$-(C=O)_u$ -alkyl- $-(C=O)_v$; and

a covalent bond; and

R^{39} is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

u and v are independently 0 or 1; and

R^{37} is unsubstituted phenyl or R^{38} ; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;

provided that at least one of R^{35} , R^{36} and R^{37} is R^{38} .

227. A compound of Claim 226 wherein R^{38} is phenyl substituted with -N(H)-X- R^{39} or -O-X- R^{39} wherein:

X is selected from the group consisting of:

- (C=O)_u-alkyl-;
- (C=O)_u-alkyl-NH-;
- (C=O)_u-alkyl-O-;
- (C=O)_u-alkyl-(C=O)_v; and
- a covalent bond; and

R^{39} is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

u and v are independently 0 or 1.

228. A compound of Claim 227 wherein R^{38} is phenyl substituted at the para-position with -N(H)-X- R^{39} or -O-X- R^{39} wherein:

X is selected from the group consisting of:

- (C=O)_u-alkyl-;
- (C=O)_u-alkyl-NH-;
- (C=O)_u-alkyl-O-;
- (C=O)_u-alkyl-(C=O)_v; and
- a covalent bond; and

R^{39} is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

u and v are independently 0 or 1.

229. A compound of Claim 227 wherein R^{38} is phenyl substituted at the meta-position with -N(H)-X- R^{39} or -O-X- R^{39} wherein:

X is selected from the group consisting of:

- (C=O)_u-alkyl-;
- (C=O)_u-alkyl-NH-;

$-(C=O)_u\text{-alkyl-O-}$;

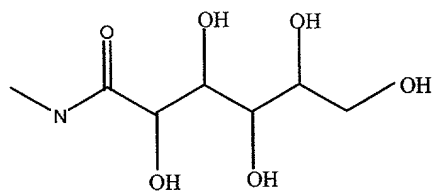
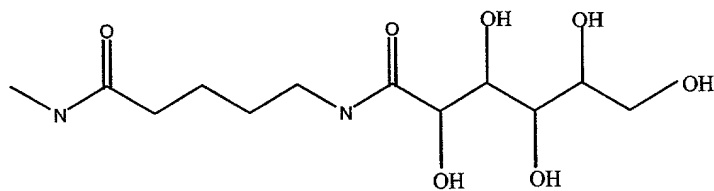
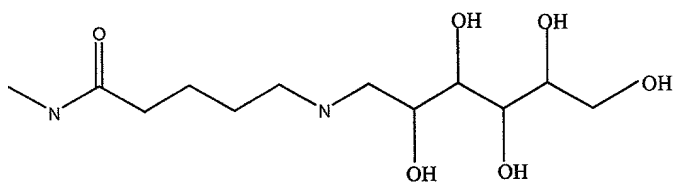
$-(C=O)_u\text{-alkyl-(C=O)}_v$; and

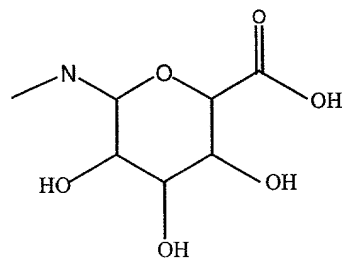
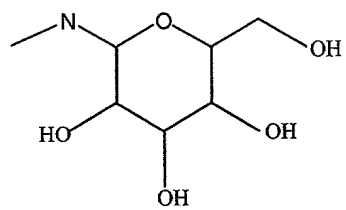
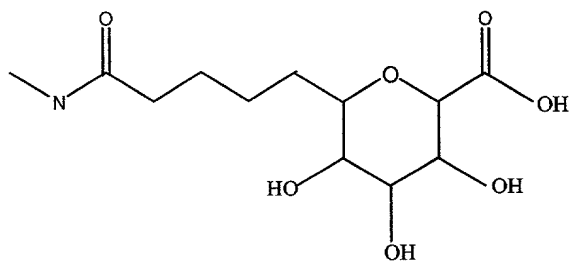
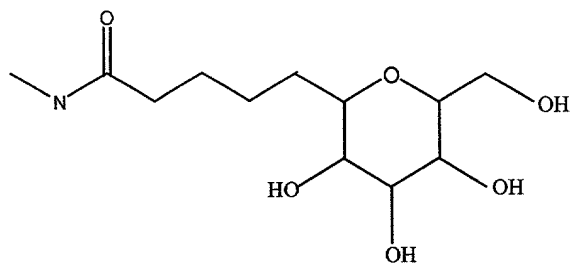
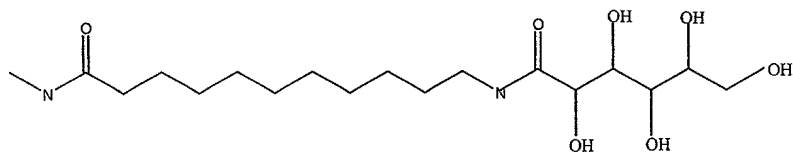
a covalent bond; and

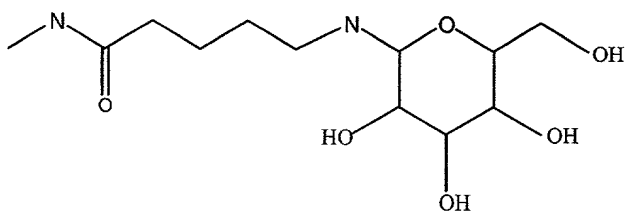
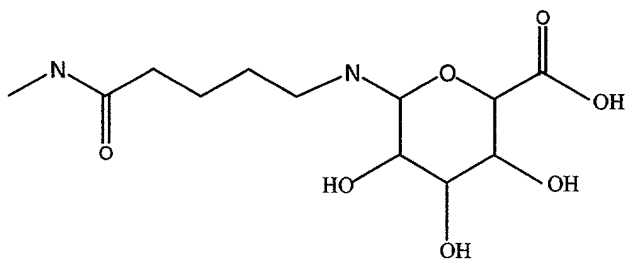
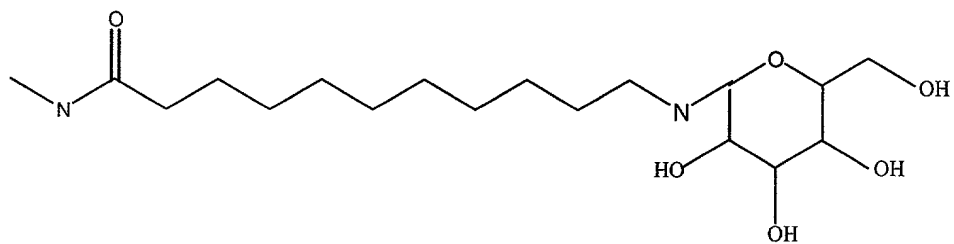
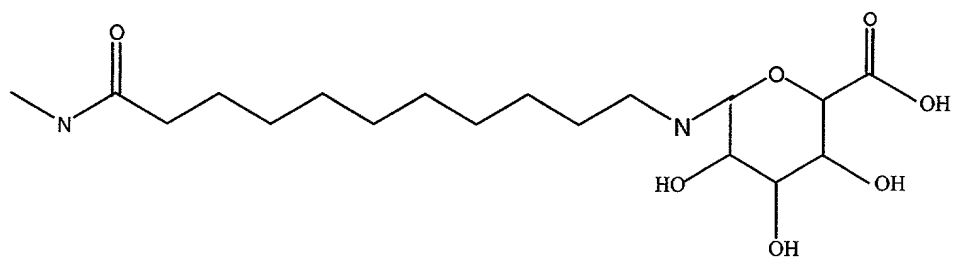
R^{39} is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

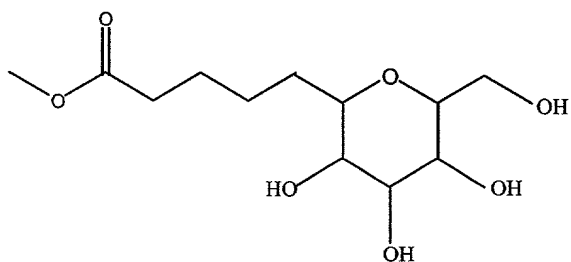
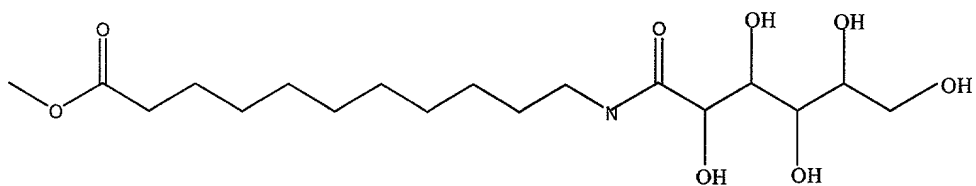
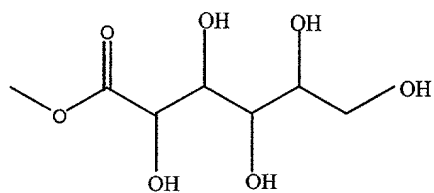
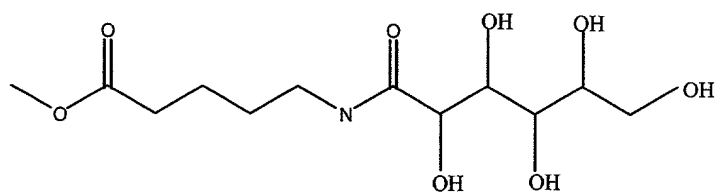
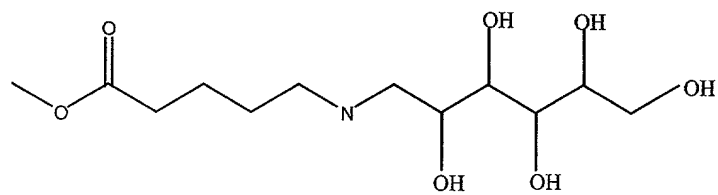
u and v are independently 0 or 1.

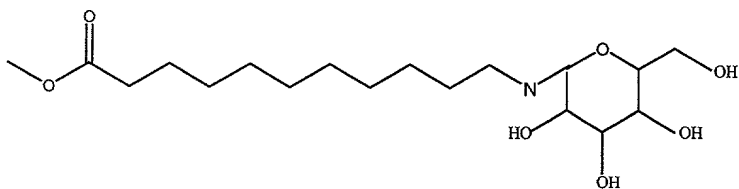
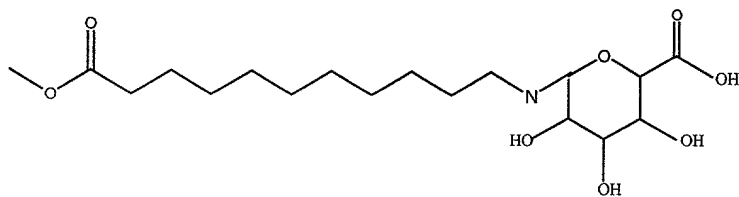
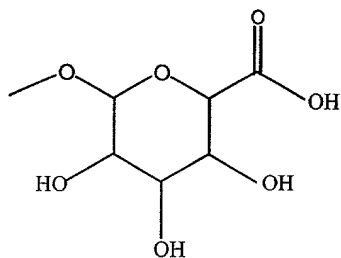
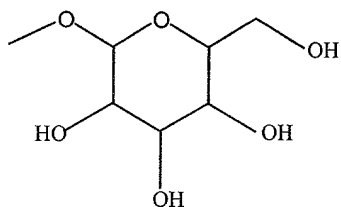
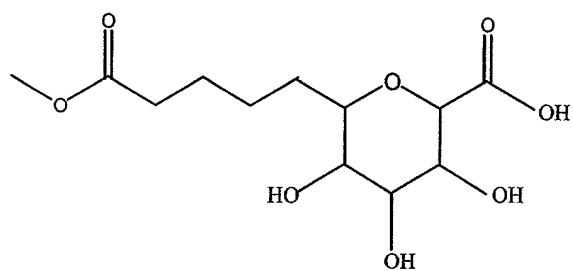
230. A compound of claim 227 wherein R^{38} is phenyl substituted with a radical selected from the group consisting of:

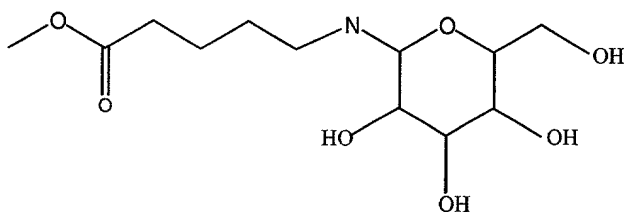
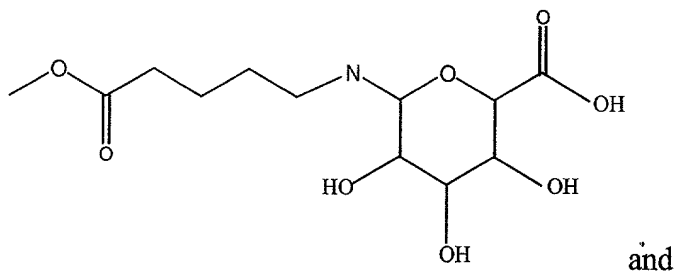












231. A compound of claim 227 wherein:

R^{2I} and R^{2J} are independently selected from ethyl and n-butyl;

R^{35} is chloro; and

R^{36} is selected from the group consisting of hydroxy and methoxy.

232. A compound of claim 227 wherein:

R^{2I} and R^{2J} are n-butyl;

R^{35} is chloro; and

R^{36} is selected from the group consisting of hydroxy and methoxy.

233. A compound of claim 227 wherein:

one of R^{2I} and R^{2J} is ethyl and the other of R^{2I} and R^{2J} is n-butyl;

R^{35} is chloro; and

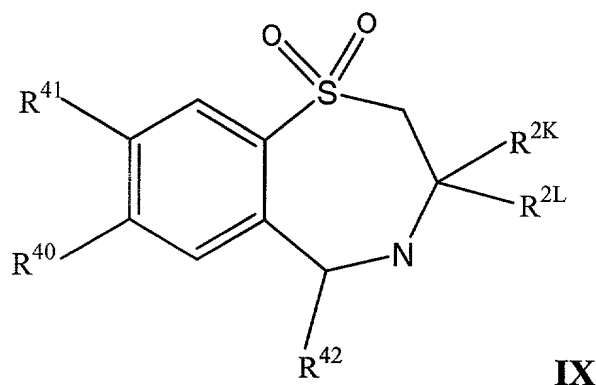
R^{36} is selected from the group consisting of hydroxy and methoxy.

234. A compound of claim 227 wherein R^{2I} and R^{2J} are the same alkyl.

235. A compound of claim 227 wherein R^{2I} and R^{2J} are each n-butyl.

236. A compound of claim 227 wherein one of R^{2I} and R^{2J} is ethyl and the other of R^{2I} and R^{2J} is n-butyl.

237. A compound of Formula IX:



wherein:

R^{2K} and R^{2L} are independently selected from C_{1-6} alkyl; and

R^{40} and R^{41} are independently selected from the group consisting of hydrogen, alkoxy, and R^{43} ;

wherein R^{43} is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with $-N(H)-X-R^{44}$ or $-O-X-R^{44}$ and wherein:

X is selected from the group consisting of:

- $-(C=O)_a$ -alkyl-;
- $-(C=O)_a$ -alkyl-NH-;
- $-(C=O)_a$ -alkyl-O-;
- $-(C=O)_a$ -alkyl- $(C=O)_b$; and
- a covalent bond; and

R^{44} is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

a and b are independently 0 or 1; and

R^{42} is unsubstituted phenyl or R^{43} ; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;

provided that at least one of R^{40} , R^{41} and R^{42} is R^{43} .

238. A compound of Claim 237 wherein R^{43} is phenyl substituted with $-N(H)-X-R^{44}$ or $-O-X-R^{44}$ wherein:

X is selected from the group consisting of:

- $-(C=O)_a\text{-alkyl-}$;
- $-(C=O)_a\text{-alkyl-NH-}$;
- $-(C=O)_a\text{-alkyl-O-}$;
- $-(C=O)_a\text{-alkyl-(C=O)}_b$; and
- a covalent bond; and

R^{44} is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

a and b are independently 0 or 1.

239. A compound of Claim 238 wherein R^{43} is phenyl substituted at the para-position with $-N(H)-X-R^{44}$ or $-O-X-R^{44}$ wherein:

X is selected from the group consisting of:

- $-(C=O)_a\text{-alkyl-}$;
- $-(C=O)_a\text{-alkyl-NH-}$;
- $-(C=O)_a\text{-alkyl-O-}$;
- $-(C=O)_a\text{-alkyl-(C=O)}_b$; and
- a covalent bond; and

R^{44} is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

a and b are independently 0 or 1.

240. A compound of Claim 238 wherein R^{43} is phenyl substituted at the meta-position with $-N(H)-X-R^{44}$ or $-O-X-R^{44}$ wherein:

X is selected from the group consisting of:

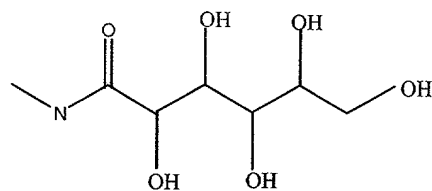
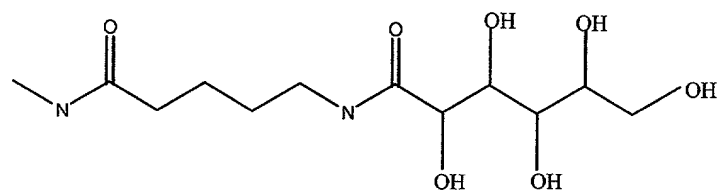
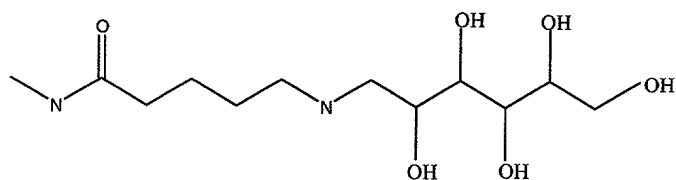
- $-(C=O)_a\text{-alkyl-}$;
- $-(C=O)_a\text{-alkyl-NH-}$;

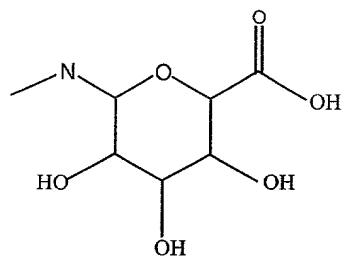
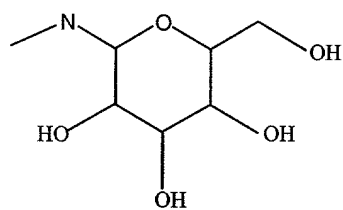
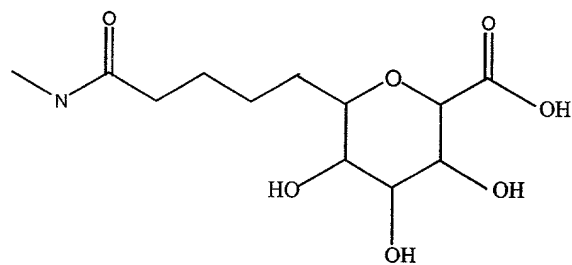
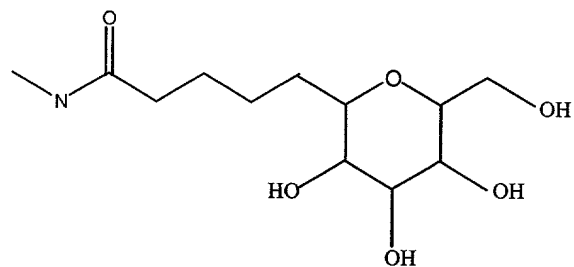
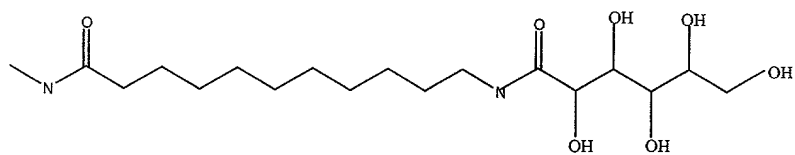
$-(C=O)_a\text{-alkyl-O-}$;
 $-(C=O)_a\text{-alkyl-(C=O)}_b$; and
 a covalent bond; and

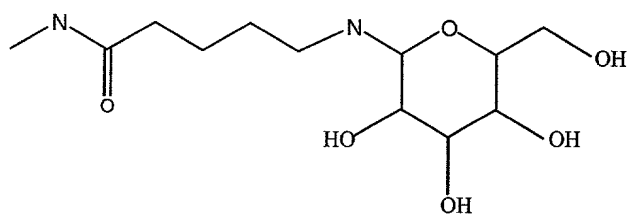
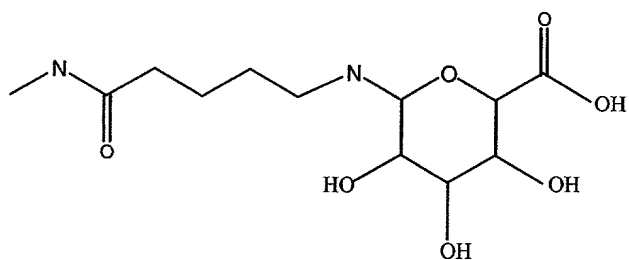
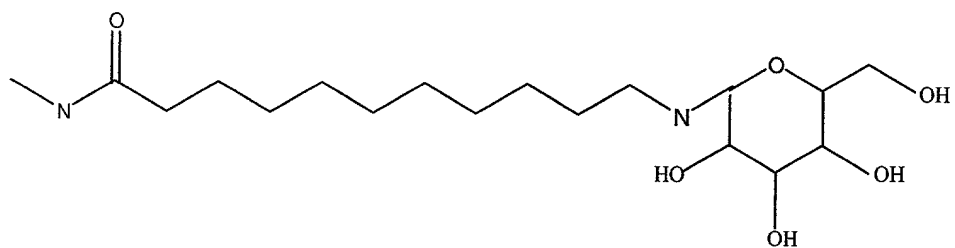
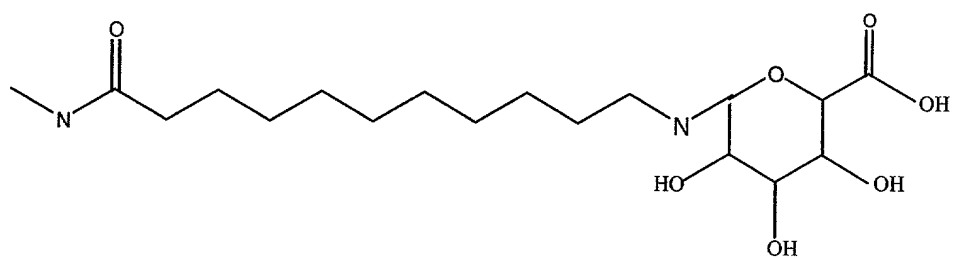
R^{44} is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

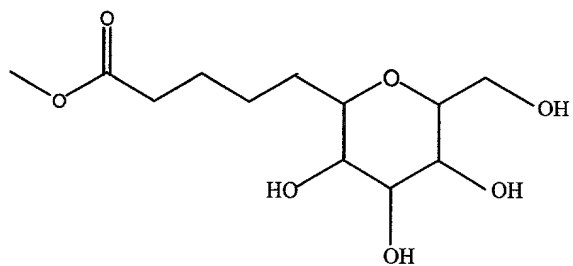
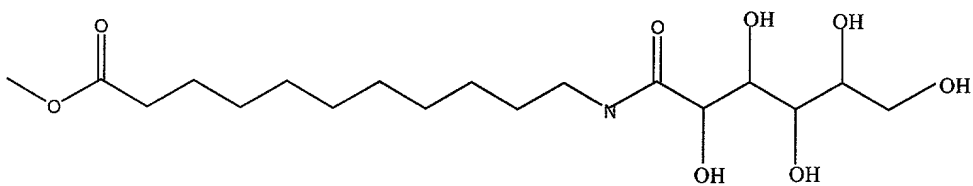
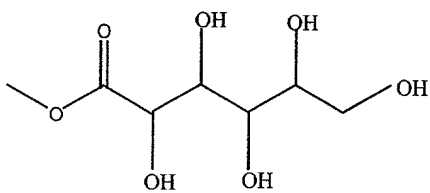
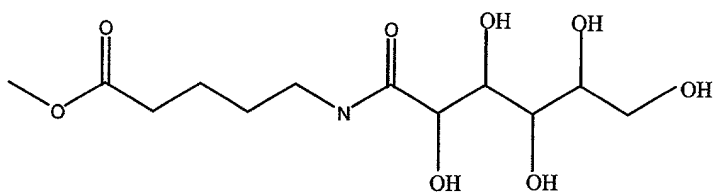
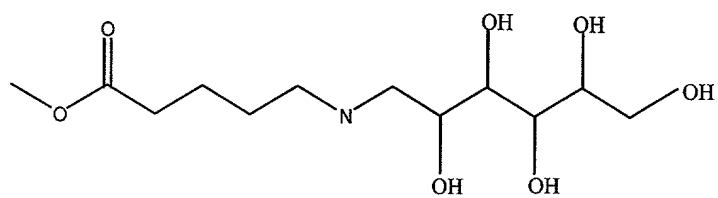
a and b are independently 0 or 1.

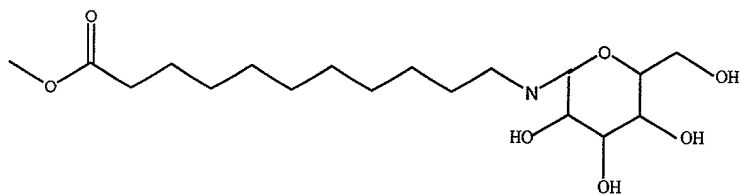
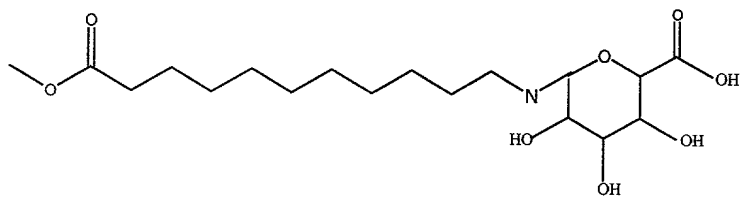
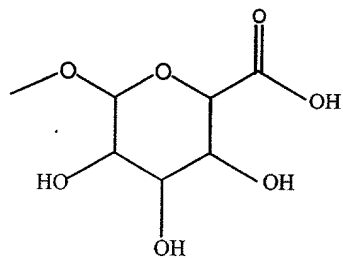
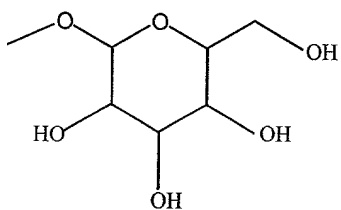
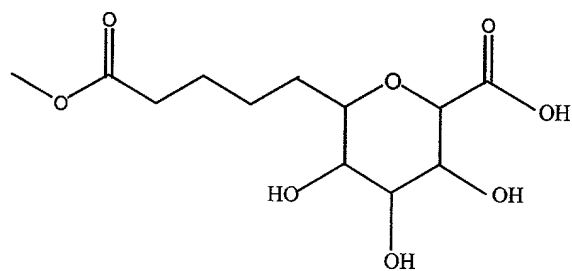
241. A compound of claim 238 wherein R^{43} is phenyl substituted with a radical selected from the group consisting of:

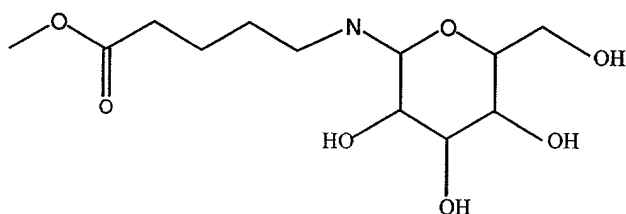
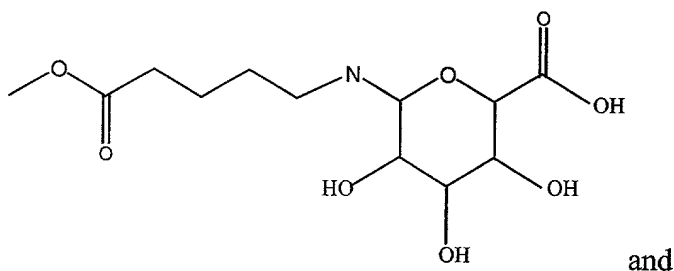












242. A compound of claim 238 wherein:

R^{2K} and R^{2L} are independently selected from ethyl and n-butyl; and
 R^{40} and R^{41} are independently selected from hydrogen and methoxy.

243. A compound of claim 238 wherein:

R^{2K} and R^{2L} are n-butyl; and
 R^{40} and R^{41} are independently selected from hydrogen and methoxy.

244. A compound of claim 238 wherein:

one of R^{2K} and R^{2L} is ethyl and the other of R^{2K} and R^{2L} is n-butyl; and
 R^{40} and R^{41} are independently selected from hydrogen and methoxy.

245. A compound of claim 238 wherein R^{2K} and R^{2L} are the same alkyl.

246. A compound of claim 238 wherein R^{2K} and R^{2L} are each n-butyl.

247. A compound of claim 238 wherein one of R^{2K} and R^{2L} is ethyl and the other of R^{2K} and R^{2L} is n-butyl.

249. A compound of claim 238 wherein:

one of R^{2K} and R^{2L} is ethyl and the other of R^{2K} and R^{2L} is n-butyl; and R^{40} and R^{41} are hydrogen.

250. A compound of claim 238 wherein:

one of R^{2K} and R^{2L} is ethyl and the other of R^{2K} and R^{2L} is n-butyl; and R^{40} and R^{41} are methoxy.

251. A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula I according to any one of claims 1 to 120, or a pharmaceutically acceptable salt, solvate or prodrug thereof.

252. A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula III according to any one of claims 121 to 140, or a pharmaceutically acceptable salt, solvate or prodrug thereof.

253. A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula V according to any one of claims 141 to 162, or a pharmaceutically acceptable salt, solvate or prodrug thereof.

254. A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula VII according to any one of claims 163 to 225, or a pharmaceutically acceptable salt, solvate or prodrug thereof.

255. A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of

Formula VIII according to any one of claims 226 to 236, or a pharmaceutically acceptable salt, solvate or prodrug thereof.

256. A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula IX according to any one of claims 237 to 250, or a pharmaceutically acceptable salt, solvate or prodrug thereof.

257. The method of claim 251 wherein the hyperlipidemic condition is atherosclerosis.

258. A pharmaceutical composition comprising a compound of Formula I according to any one of claims 1 to 120 or a pharmaceutically acceptable salt, solvate or prodrug thereof, and a pharmaceutically acceptable carrier.

259. A pharmaceutical composition comprising a compound of Formula III according to any one of claims 121 to 140 or a pharmaceutically acceptable salt, solvate or prodrug thereof, and a pharmaceutically acceptable carrier.

260. A pharmaceutical composition comprising a compound of Formula V according to any one of claims 141 to 162 or a pharmaceutically acceptable salt, solvate or prodrug thereof, and a pharmaceutically acceptable carrier.

261. A pharmaceutical composition comprising a compound of Formula VII according to any one of claims 163 to 225 or a pharmaceutically acceptable salt, solvate or prodrug thereof, and a pharmaceutically acceptable carrier.

262. A pharmaceutical composition comprising a compound of Formula VIII according to any one of claims 226 to 236 or a pharmaceutically acceptable salt, solvate or prodrug thereof, and a pharmaceutically acceptable carrier.

263. A pharmaceutical composition comprising a compound of Formula IX according to any one of claims 237 to 250 or a pharmaceutically acceptable salt, solvate or prodrug thereof, and a pharmaceutically acceptable carrier.